

09980869

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FILE 'HOME' ENTERED AT 18:27:53 ON 04 DEC 2002

=> FIL REG

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

0.21

0.21

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STRUCTURE FILE UPDATES: 3 DEC 2002 HIGHEST RN 475040-20-1

DICTIONARY FILE UPDATES: 3 DEC 2002 HIGHEST RN 475040-20-1

TSCA INFORMATION NOW CURRENT THROUGH MAY 20, 2002

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Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. See HELP PROPERTIES for more information. See STNote 27, Searching Properties in the CAS Registry File, for complete details:

<http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf>

=>

Uploading 09980869c.str

L1 STRUCTURE UPLOADED

=> d

L1 HAS NO ANSWERS

L1 STR

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 8 OF 13 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1997:530913 CAPLUS

DOCUMENT NUMBER: 127:190944

TITLE: Synthesis of 1-amino-1,2,5-trideoxy-2,5-imino-D-mannitol, a novel analog of the powerful glucosidase inhibitor 2,5-dideoxy-2,5-imino-D-mannitol, via an Amadori rearrangement of 5-azido-5-deoxy-D-glucofuranose

AUTHOR(S): Wrodnigg, Tanja M.; Stutz, Arnold E.; Withers, Steven G.

CORPORATE SOURCE: Institut fur Organische Chemie der Technischen Universitat Graz, Graz, A-8010, Austria

SOURCE: Tetrahedron Letters (1997), 38(31), 5463-5466  
CODEN: TELEAY; ISSN: 0040-4039

PUBLISHER: Elsevier

DOCUMENT TYPE: Journal

LANGUAGE: English

AB By an Amadori rearrangement of easily available 5-azido-5-deoxy-D-glucofuranose with dibenzylamine and subsequent catalytic hydrogenation of the resulting 5-azido-1-dibenzylamino-1,5-dideoxy-D-fructopyranose, the new 1-amino-1,2,5-trideoxy-2,5-imino-D-mannitol was obtained in only two steps and excellent overall yield. Likewise, other amines and/or other 5-modified hexofuranoses can be used to advantage. The reported rearrangement reaction is a high yielding, convenient and apparently general entry to 1-aminodeoxyketopyranoses modified at C-5, facilitated by the ring enlargement of the aldofuranose to the ketopyranose as an addnl. driving force.

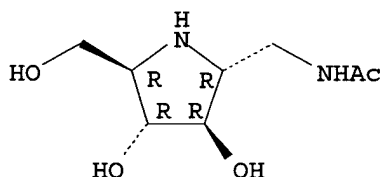
IT 150571-23-6P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of aminotrideoxyiminomannitol via an Amadori rearrangement of azidodeoxyglucofuranose)

RN 150571-23-6 CAPLUS

CN Acetamide, N-[[[(2R,3R,4R,5R)-3,4-dihydroxy-5-(hydroxymethyl)-2-pyrrolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



L4 ANSWER 9 OF 13 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1997:111881 CAPLUS

DOCUMENT NUMBER: 126:212336

TITLE: Intramolecular cyclization of C2 symmetric and meso-iodo amino alcohols: a synthetic approach to azasugars

AUTHOR(S): Kang, Sung Ho; Ryu, Do Hyun

CORPORATE SOURCE: Dep. Chem., Korea Advanced Inst. Sci. Technology, Taejon, 305-701, S. Korea

SOURCE: Tetrahedron Letters (1997), 38(4), 607-610

CODEN: TELEAY; ISSN: 0040-4039

PUBLISHER: Elsevier

DOCUMENT TYPE: Journal

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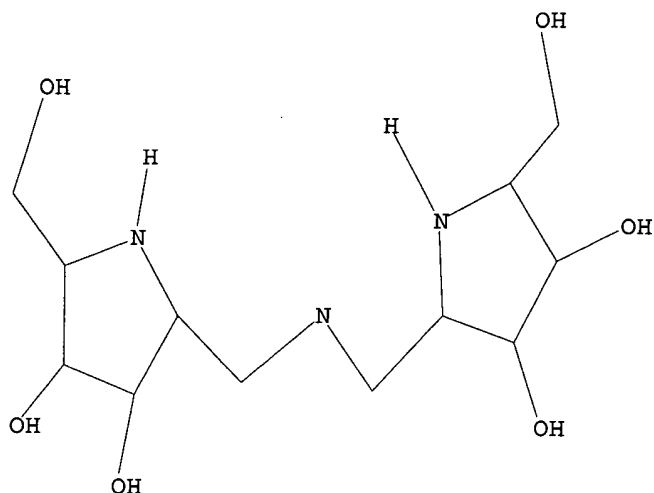
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NEWS 7 Apr 22 BIOSIS Gene Names now available in TOXCENTER  
NEWS 8 Apr 22 Federal Research in Progress (FEDRIP) now available  
NEWS 9 Jun 03 New e-mail delivery for search results now available  
NEWS 10 Jun 10 MEDLINE Reload  
NEWS 11 Jun 10 PCTFULL has been reloaded  
NEWS 12 Jul 02 FOREGE no longer contains STANDARDS file segment  
NEWS 13 Jul 22 USAN to be reloaded July 28, 2002;  
saved answer sets no longer valid  
NEWS 14 Jul 29 Enhanced polymer searching in REGISTRY  
NEWS 15 Jul 30 NETFIRST to be removed from STN  
NEWS 16 Aug 08 CANCERLIT reload  
NEWS 17 Aug 08 PHARMAMarketLetter(PHARMAML) - new on STN  
NEWS 18 Aug 08 NTIS has been reloaded and enhanced  
NEWS 19 Aug 19 Aquatic Toxicity Information Retrieval (AQUIRE)  
now available on STN  
NEWS 20 Aug 19 IFIPAT, IFICDB, and IFIUDB have been reloaded  
NEWS 21 Aug 19 The MEDLINE file segment of TOXCENTER has been reloaded  
NEWS 22 Aug 26 Sequence searching in REGISTRY enhanced  
NEWS 23 Sep 03 JAPIO has been reloaded and enhanced  
NEWS 24 Sep 16 Experimental properties added to the REGISTRY file  
NEWS 25 Sep 16 Indexing added to some pre-1967 records in CA/CAPLUS  
NEWS 26 Sep 16 CA Section Thesaurus available in CAPLUS and CA  
NEWS 27 Oct 01 CASREACT Enriched with Reactions from 1907 to 1985  
NEWS 28 Oct 21 EVENTLINE has been reloaded  
NEWS 29 Oct 24 BEILSTEIN adds new search fields  
NEWS 30 Oct 24 Nutraceuticals International (NUTRACEUT) now available on STN  
NEWS 31 Oct 25 MEDLINE SDI run of October 8, 2002  
NEWS 32 Nov 18 DKILIT has been renamed APOLLIT  
NEWS 33 Nov 25 More calculated properties added to REGISTRY  
NEWS 34 Dec 02 TIBKAT will be removed from STN  
NEWS 35 Dec 04 CSA files on STN

NEWS EXPRESS October 14 CURRENT WINDOWS VERSION IS V6.01,  
CURRENT MACINTOSH VERSION IS V6.0a(ENG) AND V6.0Ja(JP),  
AND CURRENT DISCOVER FILE IS DATED 01 OCTOBER 2002

NEWS HOURS STN Operating Hours Plus Help Desk Availability  
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NEWS WWW CAS World Wide Web Site (general information)

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Structure attributes must be viewed using STN Express query preparation.

=> s l1 sss sam

SAMPLE SEARCH INITIATED 18:28:18 FILE 'REGISTRY'  
SAMPLE SCREEN SEARCH COMPLETED - 21 TO ITERATE

100.0% PROCESSED 21 ITERATIONS  
SEARCH TIME: 00.00.01

0 ANSWERS

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*  
BATCH \*\*COMPLETE\*\*  
PROJECTED ITERATIONS: 146 TO 694  
PROJECTED ANSWERS: 0 TO 0

L2 0 SEA SSS SAM L1

=> s l1 full

FULL SEARCH INITIATED 18:28:23 FILE 'REGISTRY'  
FULL SCREEN SEARCH COMPLETED - 348 TO ITERATE

100.0% PROCESSED 348 ITERATIONS  
SEARCH TIME: 00.00.01

1 ANSWERS

L3 1 SEA SSS FUL L1

=> fil caplus

COST IN U.S. DOLLARS

SINCE FILE	TOTAL
ENTRY	SESSION
140.28	140.49

FULL ESTIMATED COST

FILE 'CAPLUS' ENTERED AT 18:28:31 ON 04 DEC 2002  
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FILE COVERS 1907 - 4 Dec 2002 VOL 137 ISS 23  
FILE LAST UPDATED: 3 Dec 2002 (20021203/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

CAS roles have been modified effective December 16, 2001. Please check your SDI profiles to see if they need to be revised. For information on CAS roles, enter HELP ROLES at an arrow prompt or use the CAS Roles thesaurus (/RL field) in this file.

=> s l3 full

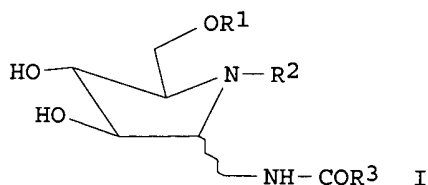
L4 2 L3

=> d l4 1-2 ibib abs hitstr

L4 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2002 ACS  
ACCESSION NUMBER: 2000:814457 CAPLUS  
DOCUMENT NUMBER: 133:350461  
TITLE: Preparation of iminocyclitol inhibitors of  
hexoaminidase and glycosidase  
INVENTOR(S): Wong, Chi-huey; Liu, Jungie  
PATENT ASSIGNEE(S): The Scripps Research Institute, USA  
SOURCE: PCT Int. Appl., 52 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000068194	A1	20001116	WO 2000-US13048	20000511
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
EP 1181274	A1	20020227	EP 2000-930662	20000511
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO			
PRIORITY APPLN. INFO.:			US 1999-133549P P 19990511	
			WO 2000-US13048 W 20000511	
OTHER SOURCE(S):	MARPAT 133:350461			
GI				

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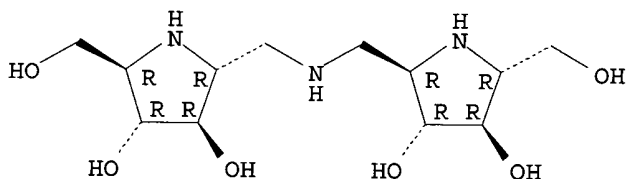
AB Iminocyclitols I wherein: R1 is selected from the group consisting of hydrogen, sulfate, and Me sulfate; R2 is selected from the group consisting of hydrogen, Me, Et, and a branched or unbranched hydrocarbon having between 3 and 8 carbons; and R3 is a hydrocarbon having between 1 and 50 carbon atoms, were prepd. and have potent inhibition activity with respect to hexoaminidases and glycosidases. Thus, (1'R,2S,3R,4R,5R)-3,4-dihydroxy-2-[1',2'-dihydroxy-ethyl]-5-hydroxymethyl-pyrrolidine was prepd. and tested as .beta.-glucosidase inhibitor ( $K_i = 2.6 \mu\text{M}$ ).

IT **231618-81-8P**  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(prepn. of iminocyclitol inhibitors of hexoaminidase and glycosidase)

RN 231618-81-8 CAPLUS

CN 3,4-Pyrrolidinediol, 2,2'-[iminobis(methylene)]bis[5-(hydroxymethyl)-, (2R,2'R,3R,3'R,4R,4'R,5R,5'R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2002 ACS  
ACCESSION NUMBER: 1999:390839 CAPLUS  
DOCUMENT NUMBER: 131:102464  
TITLE: A Versatile Synthetic Strategy for the Preparation and Discovery of New Iminocyclitols as Inhibitors of Glycosidases  
AUTHOR(S): Takebayashi, Maki; Hiranuma, Sayoko; Kanie, Yoshimi; Kajimoto, Tetsuya; Kanie, Osamu; Wong, Chi-Huey  
CORPORATE SOURCE: Frontier Research Program, The Institute of Physical and Chemical Research (RIKEN), Wako-shi Saitama, 351-0198, Japan  
SOURCE: Journal of Organic Chemistry (1999), 64(14), 5280-5291  
CODEN: JOCEAH; ISSN: 0022-3263  
PUBLISHER: American Chemical Society  
DOCUMENT TYPE: Journal  
LANGUAGE: English

AB A series of imino cyclitols was prepd. using a versatile synthetic strategy, and their inhibition of glycosidases was evaluated using capillary electrophoresis. The study has demonstrated that remarkable specificities in enzyme inhibition can be achieved with small modifications on the aglycon side chain and the ring nitrogen. Among the

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compsds. synthesized, (2R,3R,4R,5R)-N-methyl-2-(acetamidomethyl)-3,4-dihydroxy-5-(hydroxymethyl)pyrrolidine was found to be very potent against .beta.-N-acetylhexosaminidase P with the Ki value of 80 nM.

IT **231618-81-8P**

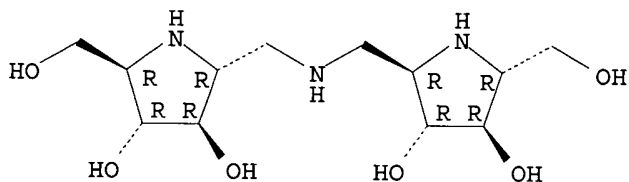
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(prepn. of imino cyclitols as glycosidase inhibitors)

RN 231618-81-8 CAPLUS

CN 3,4-Pyrrolidinediol, 2,2'-[iminobis(methylene)]bis[5-(hydroxymethyl)-, (2R,2'R,3R,3'R,4R,4'R,5R,5'R) - (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



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NEWS 9 Jun 03 New e-mail delivery for search results now available  
NEWS 10 Jun 10 MEDLINE Reload  
NEWS 11 Jun 10 PCTFULL has been reloaded  
NEWS 12 Jul 02 FOREGE no longer contains STANDARDS file segment  
NEWS 13 Jul 22 USAN to be reloaded July 28, 2002;  
saved answer sets no longer valid  
NEWS 14 Jul 29 Enhanced polymer searching in REGISTRY  
NEWS 15 Jul 30 NETFIRST to be removed from STN  
NEWS 16 Aug 08 CANCERLIT reload  
NEWS 17 Aug 08 PHARMAMarketLetter(PHARMAML) - new on STN  
NEWS 18 Aug 08 NTIS has been reloaded and enhanced  
NEWS 19 Aug 19 Aquatic Toxicity Information Retrieval (AQUIRE)  
now available on STN  
NEWS 20 Aug 19 IFIPAT, IFICDB, and IFIUDB have been reloaded  
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NEWS 27 Oct 01 CASREACT Enriched with Reactions from 1907 to 1985  
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CURRENT MACINTOSH VERSION IS V6.0a(ENG) AND V6.0Ja(JP),  
AND CURRENT DISCOVER FILE IS DATED 01 OCTOBER 2002  
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NEWS INTER General Internet Information  
NEWS LOGIN Welcome Banner and News Items  
NEWS PHONE Direct Dial and Telecommunication Network Access to STN  
NEWS WWW CAS World Wide Web Site (general information)



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COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

0.21

0.21

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STRUCTURE FILE UPDATES: 3 DEC 2002 HIGHEST RN 475040-20-1

DICTIONARY FILE UPDATES: 3 DEC 2002 HIGHEST RN 475040-20-1

TSCA INFORMATION NOW CURRENT THROUGH MAY 20, 2002

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Experimental and calculated property data are now available. See HELP PROPERTIES for more information. See STNote 27, Searching Properties in the CAS Registry File, for complete details:

<http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf>

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L1 STRUCTURE UPLOADED

=> d

L1 HAS NO ANSWERS

L1 STR

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

Structure attributes must be viewed using STN Express query preparation.

=> s l1 sss sam

SAMPLE SEARCH INITIATED 10:34:38 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 8 TO ITERATE

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100.0% PROCESSED      8 ITERATIONS      3 ANSWERS
SEARCH TIME: 00.00.01
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L2 3 SEA SSS SAM L1

```
100.0% PROCESSED      96 ITERATIONS      23 ANSWERS
SEARCH TIME: 00.00.01
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COST IN U.S. DOLLARS

FULL ESTIMATED COST

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=> s l3 full
L4          24 L3
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L4 ANSWER 1 OF 24 CAPLUS COPYRIGHT 2002 ACS  
ACCESSION NUMBER: 2000:814457 CAPLUS  
DOCUMENT NUMBER: 133:350461  
TITLE: Preparation of iminocyclitol inhibitors of  
hexoaminidase and glycosidase  
INVENTOR(S): Wong, Chi-huey; Liu, Jungie

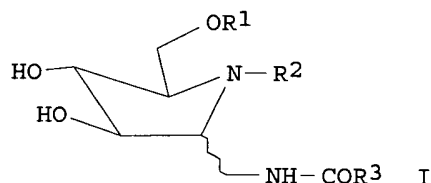
09980869

PATENT ASSIGNEE(S): The Scripps Research Institute, USA  
SOURCE: PCT Int. Appl., 52 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000068194	A1	20001116	WO 2000-US13048	20000511
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
EP 1181274	A1	20020227	EP 2000-930662	20000511
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO			

PRIORITY APPLN. INFO.: US 1999-133549P P 19990511  
WO 2000-US13048 W 20000511

OTHER SOURCE(S): MARPAT 133:350461  
GI



AB Iminocyclitols I wherein: R<sub>1</sub> is selected from the group consisting of hydrogen, sulfate, and Me sulfate; R<sub>2</sub> is selected from the group consisting of hydrogen, Me, Et, and a branched or unbranched hydrocarbon having between 3 and 8 carbons; and R<sub>3</sub> is a hydrocarbon having between 1 and 50 carbon atoms, were prepd. and have potent inhibition activity with respect to hexoaminidases and glycosidases. Thus, (1'R,2S,3R,4R,5R)-3,4-dihydroxy-2-[1',2'-dihydroxy-ethyl]-5-hydroxymethyl-pyrrolidine was prepd. and tested as .beta.-glucosidase inhibitor (K<sub>i</sub> = 2.6 .mu.M).

IT 173142-29-5P 173142-30-8P 231618-77-2P  
231618-78-3P 231618-80-7P

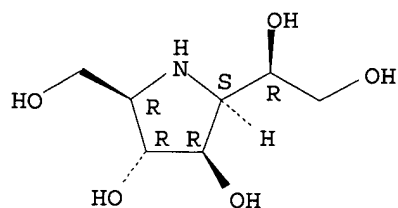
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(prepn. of iminocyclitol inhibitors of hexoaminidase and glycosidase)

RN 173142-29-5 CAPLUS

CN 2,5-Pyrrolidinedimethanol, 3,4-dihydroxy-.alpha.-(hydroxymethyl)-, (.alpha.R,2S,3R,4R,5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

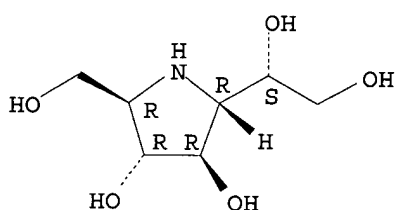
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RN 173142-30-8 CAPLUS

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(.alpha.S,2R,3R,4R,5R)- (9CI) (CA INDEX NAME)

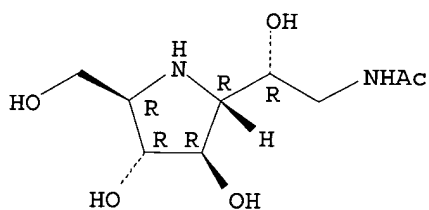
Absolute stereochemistry. Rotation (+).



RN 231618-77-2 CAPLUS

CN Acetamide, N-[(2R)-2-[(2R,3R,4R,5R)-3,4-dihydroxy-5-(hydroxymethyl)-2-pyrrolidinyl]-2-hydroxyethyl]- (9CI) (CA INDEX NAME)

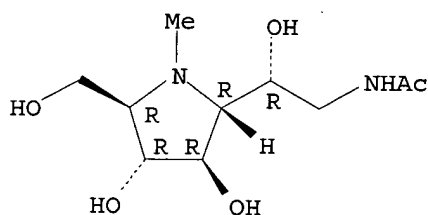
Absolute stereochemistry. Rotation (+).



RN 231618-78-3 CAPLUS

CN Acetamide, N-[(2R)-2-[(2R,3R,4R,5R)-3,4-dihydroxy-5-(hydroxymethyl)-1-methyl-2-pyrrolidinyl]-2-hydroxyethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

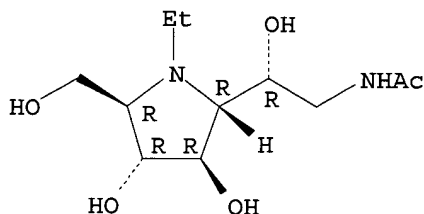


RN 231618-80-7 CAPLUS

CN Acetamide, N-[(2R)-2-[(2R,3R,4R,5R)-1-ethyl-3,4-dihydroxy-5-(hydroxymethyl)-2-pyrrolidinyl]-2-hydroxyethyl]- (9CI) (CA INDEX NAME)

09980869

Absolute stereochemistry.



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 24 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 2000:619065 CAPLUS

DOCUMENT NUMBER: 133:362910

TITLE: Synthesis and enzymatic evaluation of five-membered iminocyclitols and a pseudodisaccharide

AUTHOR(S): Saotome, C.; Kanie, Y.; Kanie, O.; Wong, C.-H.

CORPORATE SOURCE: Frontier Research Program, The Institute of Physical and Chemical Research (RIKEN), Wako-shi, Saitama, 351-0198, Japan

SOURCE: Bioorganic & Medicinal Chemistry (2000), 8(9), 2249-2261

CODEN: BMECEP; ISSN: 0968-0896

PUBLISHER: Elsevier Science Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 133:362910

AB Described here are the synthesis of five-membered iminocyclitols with galacto-configuration and a pseudodisaccharide, and their inhibitory activities against .beta.-galactosyltransferase, .beta.-galactosidase and .alpha.-mannosidase.

IT 307315-74-8P 307315-75-9P

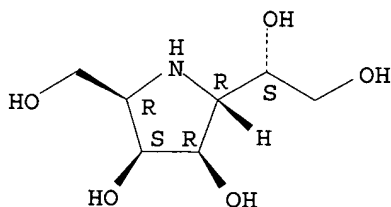
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(synthesis and enzymic evaluation of five-membered iminocyclitols and a pseudodisaccharide)

RN 307315-74-8 CAPLUS

CN 2,5-Pyrrolidinedimethanol, 3,4-dihydroxy-.alpha.-(hydroxymethyl)-, (.alpha.S,2R,3R,4S,5R)- (9CI) (CA INDEX NAME)

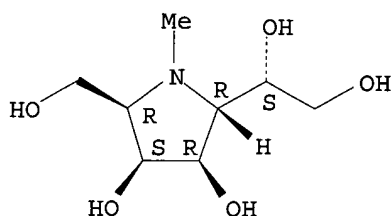
Absolute stereochemistry. Rotation (+).



RN 307315-75-9 CAPLUS

CN 2,5-Pyrrolidinedimethanol, 3,4-dihydroxy-.alpha.-(hydroxymethyl)-1-methyl-, (.alpha.S,2R,3R,4S,5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



REFERENCE COUNT: 57 THERE ARE 57 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 24 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 2000:183999 CAPLUS

DOCUMENT NUMBER: 132:329434

TITLE: Molecular requirements of imino sugars for the selective control of N-linked glycosylation and glycosphingolipid biosynthesis

AUTHOR(S): Butters, T. D.; Van den Broek, L. A. G. M.; Fleet, G. W. J.; Krulle, T. M.; Wormald, M. R.; Dwek, R. A.; Platt, F. M.

CORPORATE SOURCE: Glycobiology Institute, Department of Biochemistry, Oxford University, Oxford, OX1 3QU, UK

SOURCE: Tetrahedron: Asymmetry (2000), 11(1), 113-124  
CODEN: TASYE3; ISSN: 0957-4166

PUBLISHER: Elsevier Science Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

AB N-Butyl-deoxynojirimycin (NB-DNJ) has been approved for clin. trials as a potential therapy for Gaucher disease, a glycolipid lysosomal storage disorder. As this compd. has both glycoprotein processing .alpha.-glucosidase and ceramide glucosyltransferase inhibitory activity, we have sought to det. the mol. basis for these two activities. NB-DNJ is known to resemble the pos. charged oxocarbenium-like transition state for .alpha.-glucosidase I and the structure-function relationships we present now help to define the recognition epitope for the enzyme. Inhibition of ceramide glucosyltransferase by NB-DNJ was competitive for ceramide ( $K_i=7.4 \mu\text{M}$ ) and non-competitive for UDP-glucose, indicating inhibitory activity is by ceramide mimicry. The presence of an N-alkyl chain was obligatory for transferase inhibition and increases in alkyl chain length provided a modest increase in inhibitory potency. By contrast, .alpha.-glucosidase inhibition was independent of the N-alkyl chain and changes in chain length. The effects of ring substitutions identified the C3 hydroxyl group as being crit. for both enzymes but C1 and C6 modifications led to a loss of transferase inhibition only. Attempts to rationalize these data for transferase inhibition using an energy minimized mol. model of NB-DNJ and ceramide predicted structural homol. of three stereogenic centers and the N-alkyl chain of NB-DNJ, with the trans-alkenyl and N-acyl chain of ceramide. On the basis of these studies, modifications to imino sugar inhibitors can be suggested that allow a more selective approach for mol. inhibition of both ceramide glucosyltransferase and .alpha.-glucosidase I, leading to improved compds. for the potential treatment of lysosomal glycosphingolipid storage disorders and viral infections, resp.

IT 267668-07-5

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

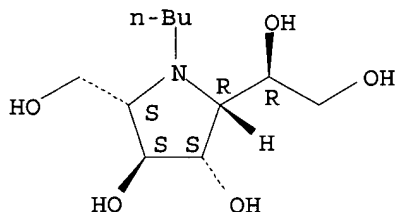
(mol. requirements of imino sugars for the selective control of N-linked glycosylation and glycosphingolipid biosynthesis)

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RN 267668-07-5 CAPLUS

CN 2,5-Pyrrolidinedimethanol, 1-butyl-3,4-dihydroxy-.alpha.-(hydroxymethyl)-,  
(.alpha.R,2R,3S,4S,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 50 THERE ARE 50 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 24 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 2000:183992 CAPLUS

DOCUMENT NUMBER: 132:332046

TITLE: New polyhydroxylated pyrrolizidine alkaloids from  
Muscari armeniacum: structural determination and  
biological activity

AUTHOR(S): Asano, Naoki; Kuroi, Hiroyo; Ikeda, Kyoko; Kizu,  
Haruhisa; Kameda, Yukihiro; Kato, Atsushi; Adachi,  
Isao; Watson, Alison A.; Nash, Robert J.; Fleet,  
George W. J.

CORPORATE SOURCE: Faculty of Pharmaceutical Sciences, Hokuriku  
University, Kanazawa, 920-1181, Japan

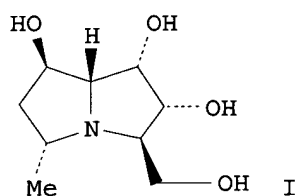
SOURCE: Tetrahedron: Asymmetry (2000), 11(1), 1-8  
CODEN: TASYE3; ISSN: 0957-4166

PUBLISHER: Elsevier Science Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

GI



AB Four new polyhydroxypyrrolizidines, hyacinthacines A1, A2, A3 and B3 (I), were isolated from the bulbs of *Muscari armeniacum* (Hyacinthaceae) in addn. to the known hyacinthacine C1, which was isolated from *Hyacinthoides non-scripta* (Hyacinthaceae). The structures of hyacinthacines A1, A2, A3 and B3 were identified on the basis of extensive NMR studies as (1S,2R,3R,7aR)-1,2-dihydroxy-3-hydroxymethylpyrrolizidine, (1R,2R,3R,7aR)-1,2-dihydroxy-3-hydroxymethylpyrrolizidine, (1R,2R,3R,5R,7aR)-1,2-dihydroxy-3-hydroxymethyl-5-methylpyrrolizidine and (1S,2R,3R,5R,7aR)-3-hydroxymethyl-5-methyl-1,2,7-trihydroxypyrrolizidine, resp., or the corresponding enantiomers. The inhibitory activities of these new hyacinthacines against a variety of glycosidases are described.

IT 197390-30-0P, HomoDMDP

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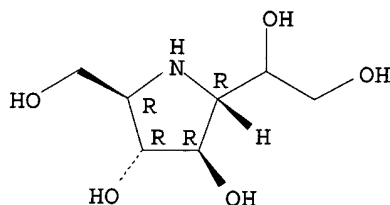
RL: BOC (Biological occurrence); BSU (Biological study, unclassified); PUR (Purification or recovery); BIOL (Biological study); OCCU (Occurrence); PREP (Preparation)

(isolation, structural detn. and biol. activity of polyhydroxylated pyrrolizidine alkaloids from Muscari)

RN 197390-30-0 CAPLUS

CN 2,5-Pyrrolidinedimethanol, 3,4-dihydroxy-.alpha.-(hydroxymethyl)-, (2R,3R,4R,5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 24 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1999:750948 CAPLUS

DOCUMENT NUMBER: 132:166484

TITLE: An approach to combinatorial library generation of galactofuranose mimics as potential inhibitors of mycobacterial cell wall biosynthesis: synthesis of a peptidomimetic of uridine 5'-diphosphogalactofuranose (UDP-galf)

AUTHOR(S): Lee, Richard E.; Smith, Martin D.; Pickering, Lea; Fleet, George W. J.

CORPORATE SOURCE: Dyson Perrins Laboratory, Oxford Center for Molecular Sciences, Oxford, OX1 3QY, UK

SOURCE: Tetrahedron Letters (1999), 40(49), 8689-8692

CODEN: TELEAY; ISSN: 0040-4039

PUBLISHER: Elsevier Science Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

AB An approach to the synthesis of amide libraries based upon an .alpha.-iminogalactofuranose template as potential inhibitors of mycobacterial cell wall biosynthesis is described. The synthesis of peptide analogs of uridine 5'-phosphogalactofuranose (UDP-Galf) is also described.

IT 258501-98-3P 258501-99-4P 258502-00-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(synthesis and evaluation of activity of as UDP-galactose mutase inhibitor)

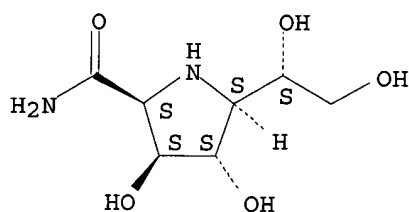
RN 258501-98-3 CAPLUS

CN 2-Pyrrolidinecarboxamide, 5-[(1S)-1,2-dihydroxyethyl]-3,4-dihydroxy-, (2S,3S,4S,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



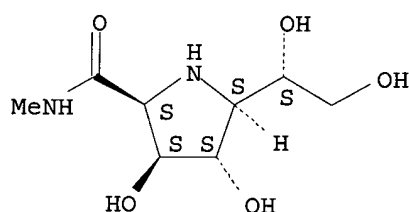
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RN 258501-99-4 CAPLUS

CN 2-Pyrrolidinecarboxamide, 5-[(1S)-1,2-dihydroxyethyl]-3,4-dihydroxy-N-methyl-, (2S,3S,4S,5S)- (9CI) (CA INDEX NAME)

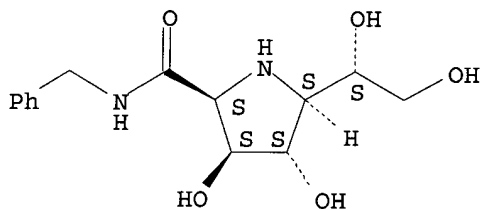
Absolute stereochemistry. Rotation (-).



RN 258502-00-0 CAPLUS

CN 2-Pyrrolidinecarboxamide, 5-[(1S)-1,2-dihydroxyethyl]-3,4-dihydroxy-N-(phenylmethyl)-, (2S,3S,4S,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



IT 258502-01-1P 258502-02-2P

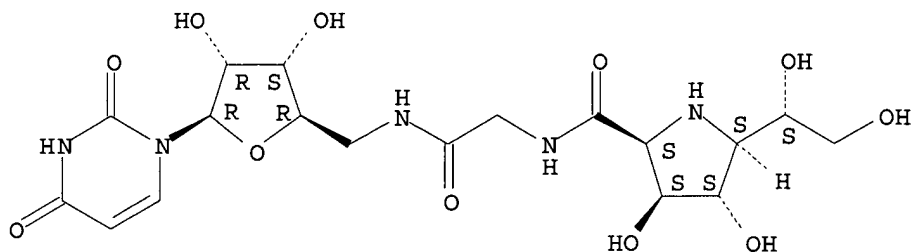
RL: SPN (Synthetic preparation); PREP (Preparation)  
(synthesis of as peptidomimetic of uridine 5'-diphosphogalactofuranose  
for use as potential inhibitors of mycobacterial cell wall  
biosynthesis)

RN 258502-01-1 CAPLUS

CN Uridine, 5'-deoxy-5'-[[[[(2S,3S,4S,5S)-5-[(1S)-1,2-dihydroxyethyl]-3,4-dihydroxy-2-pyrrolidinyl]carbonyl]amino]acetyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

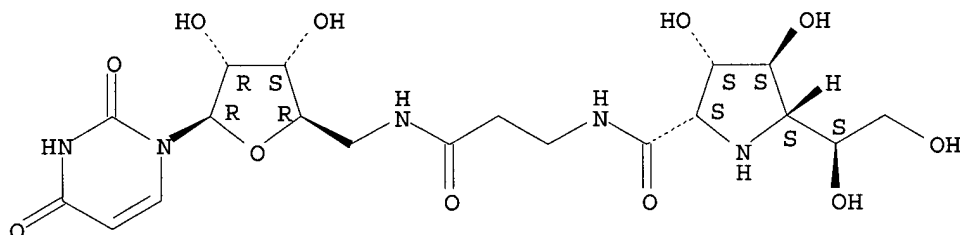
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RN 258502-02-2 CAPLUS

CN Uridine, 5'-deoxy-5'-[[3-[[[(2S,3S,4S,5S)-5-[(1S)-1,2-dihydroxyethyl]-3,4-dihydroxy-2-pyrrolidinyl]carbonyl]amino]-1-oxopropyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 6 OF 24 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1999:416453 CAPLUS

DOCUMENT NUMBER: 131:182298

TITLE: Polyhydroxylated pyrrolidine and pyrrolizidine alkaloids from Hyacinthoides non-scripta and Scilla campanulata

AUTHOR(S): Kato, Atsushi; Adachi, Isao; Miyauchi, Miwa; Ikeda, Kyoko; Komae, Tomomi; Kizu, Haruhisa; Kameda, Yukihiro; Watson, Alison A.; Nash, Robert J.; Wormald, Mark R.; Fleet, George W. J.; Asano, Naoki

CORPORATE SOURCE: Department of Hospital Pharmacy, Toyama Medical and Pharmaceutical University, Toyama, 930-0194, Japan

SOURCE: Carbohydrate Research (1999), 316(1-4), 95-103

CODEN: CRBRAT; ISSN: 0008-6215

PUBLISHER: Elsevier Science Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Aq. ethanol exts. from the immature fruits and stalks of bluebell (Hyacinthoides non-scripta) were subjected to various ion-exchange column chromatog. steps to give 1,4-dideoxy-1,4-imino-D-arabinitol (I), 2(R),5(R)-bis(hydroxymethyl)-3(R),4(R)-dihydroxypyrrolidine (DMDP) (II), 6-deoxy-6-C-(2,5-dihydroxyhexyl)-DMDP (III), 2,5-dideoxy-2,5-imino-DL-glycero-D-manno-heptitol (homoDMDP) (IV), homoDMDP-7-O-apioside (V), homoDMDP-7-O-.beta.-D-xylopyranoside (VI), (1S\*,2R\*,3R\*,5R\*,7aR\*)-1,2-dihydroxy-3,5-dihydroxymethylpyrrolizidine (VII), and (1S\*,2R\*,3R\*,5R\*,6R\*,7R\*,7aR\*)-3-hydroxymethyl-5-methyl-1,2,6,7-tetrahydroxypyrrolizidine (VIII). Bulbs of Scilla campanulata (Hyacinthaceae) yielded (1S\*,2R\*,3R\*,5S\*,7aR\*)-1,2-dihydroxy-3,5-dihydroxymethylpyrrolizidine (IX) in addn. to compds. I-VII. Compds. III, VI, VII, VIII, and IX are new natural products. Compd. IV is a potent competitive

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inhibitor with  $K_i$  values of 1.5  $\mu\text{M}$  for *Caldocellum saccharolyticum*  $\beta$ -glucosidase and 2.2  $\mu\text{M}$  for bovine liver  $\beta$ -galactosidase. The 7-O- $\beta$ -D-xyloside VI was a stronger competitive inhibitor than IV of *C. saccharolyticum*  $\beta$ -glucosidase and rat intestinal lactase, with  $K_i$  values of 0.06 and 0.07  $\mu\text{M}$ , resp., but a weaker inhibitor of bovine liver  $\beta$ -galactosidase. Furthermore, compd. IV is also a competitive inhibitor ( $K_i = 1.8 \mu\text{M}$ ) of porcine kidney trehalase, but 6 was inactive against this enzyme.

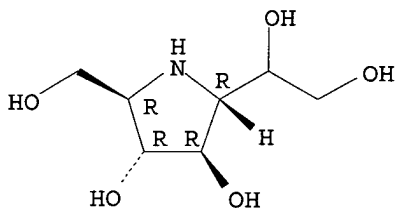
IT 197390-30-0, 2,5-Dideoxy-2,5-imino-DL-glycero-D-manno-heptitol  
RL: BAC (Biological activity or effector, except adverse); BOC (Biological occurrence); BSU (Biological study, unclassified); BIOL (Biological study); OCCU (Occurrence)

(glycosidase inhibiting activities of pyrrolidine and pyrrolizidine alkaloids from *Hyacinthoides non-scripta* and *Scilla campanulata*)

RN 197390-30-0 CAPLUS

CN 2,5-Pyrrolidinedimethanol, 3,4-dihydroxy- $\alpha$ -(hydroxymethyl)-, (2R,3R,4R,5R) - (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 7 OF 24 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1999:390839 CAPLUS

DOCUMENT NUMBER: 131:102464

TITLE: A Versatile Synthetic Strategy for the Preparation and Discovery of New Iminocyclitols as Inhibitors of Glycosidases

AUTHOR(S): Takebayashi, Maki; Hiranuma, Sayoko; Kanie, Yoshimi; Kajimoto, Tetsuya; Kanie, Osamu; Wong, Chi-Huey

CORPORATE SOURCE: Frontier Research Program, The Institute of Physical and Chemical Research (RIKEN), Wako-shi Saitama, 351-0198, Japan

SOURCE: Journal of Organic Chemistry (1999), 64(14), 5280-5291  
CODEN: JOCEAH; ISSN: 0022-3263

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

AB A series of imino cyclitols was prepd. using a versatile synthetic strategy, and their inhibition of glycosidases was evaluated using capillary electrophoresis. The study has demonstrated that remarkable specificities in enzyme inhibition can be achieved with small modifications on the aglycon side chain and the ring nitrogen. Among the compds. synthesized, (2R,3R,4R,5R)-N-methyl-2-(acetamidomethyl)-3,4-dihydroxy-5-(hydroxymethyl)pyrrolidine was found to be very potent against  $\beta$ -N-acetylhexosaminidase P with the  $K_i$  value of 80 nM.

IT 173142-29-5P 173142-30-8P 231618-77-2P  
231618-78-3P 231618-80-7P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

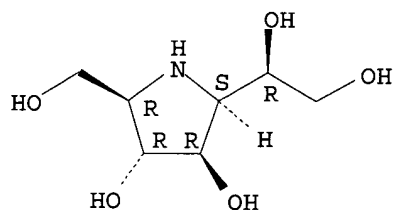
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(prepn. of imino cyclitols as glycosidase inhibitors)

RN 173142-29-5 CAPLUS

CN 2,5-Pyrrolidinedimethanol, 3,4-dihydroxy-.alpha.-(hydroxymethyl)-,  
(.alpha.R,2S,3R,4R,5R)- (9CI) (CA INDEX NAME)

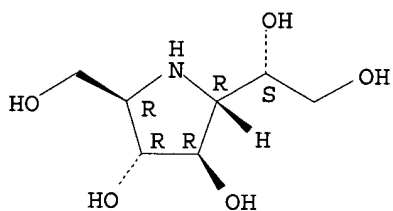
Absolute stereochemistry. Rotation (+).



RN 173142-30-8 CAPLUS

CN 2,5-Pyrrolidinedimethanol, 3,4-dihydroxy-.alpha.-(hydroxymethyl)-,  
(.alpha.S,2R,3R,4R,5R)- (9CI) (CA INDEX NAME)

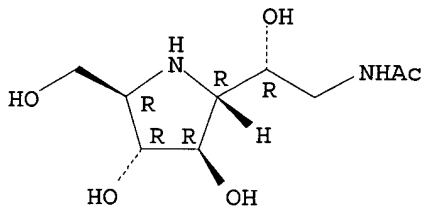
Absolute stereochemistry. Rotation (+).



RN 231618-77-2 CAPLUS

CN Acetamide, N-[(2R)-2-[(2R,3R,4R,5R)-3,4-dihydroxy-5-(hydroxymethyl)-2-pyrrolidinyl]-2-hydroxyethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

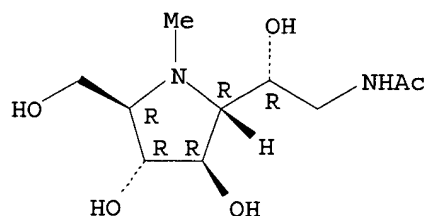


RN 231618-78-3 CAPLUS

CN Acetamide, N-[(2R)-2-[(2R,3R,4R,5R)-3,4-dihydroxy-5-(hydroxymethyl)-1-methyl-2-pyrrolidinyl]-2-hydroxyethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

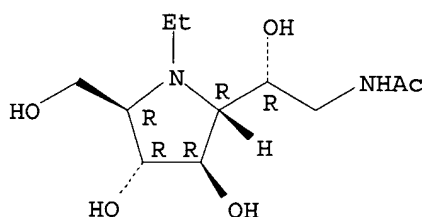
09980869



RN 231618-80-7 CAPLUS

CN Acetamide, N-[(2R)-2-[(2R,3R,4R,5R)-1-ethyl-3,4-dihydroxy-5-(hydroxymethyl)-2-pyrrolidinyl]-2-hydroxyethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 56 THERE ARE 56 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 8 OF 24 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1998:477660 CAPLUS

DOCUMENT NUMBER: 129:241900

TITLE: Isolation by ion-exchange methods

AUTHOR(S): Dufresne, Claude

CORPORATE SOURCE: Merck Research Laboratories, Rahway, NJ, USA

SOURCE: Methods in Biotechnology (1998), 4(Natural Products Isolation), 141-164

CODEN: MEBIFQ

PUBLISHER: Humana Press Inc.

DOCUMENT TYPE: Journal; General Review

LANGUAGE: English

AB A review with 13 refs. The study discusses ion exchange methods for the isolation of natural products. Theory, various chromatog. exchangers and their applications are disclosed.

IT 161017-23-8P, Gualamycin

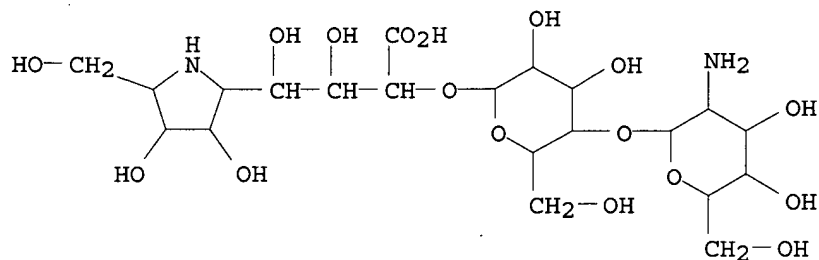
RL: PUR (Purification or recovery); PREP (Preparation)

(isolation of natural products by ion-exchange methods)

RN 161017-23-8 CAPLUS

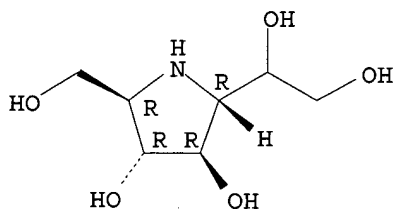
CN 2-Pyrrolidinebutanoic acid, .alpha.-[[4-O-(2-amino-2-deoxy-.beta.-D-gulopyranosyl)-.alpha.-D-galactopyranosyl]oxy]-.beta.,.gamma.,3,4-tetrahydroxy-5-(hydroxymethyl)-, (.alpha.R,.beta.S,.gamma.S,2S,3S,4S,5S) - (9CI) (CA INDEX NAME)

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L4 ANSWER 9 OF 24 CAPLUS COPYRIGHT 2002 ACS  
ACCESSION NUMBER: 1998:391737 CAPLUS  
DOCUMENT NUMBER: 129:52086  
TITLE: .alpha.-Homonojirimycin from *Hyacinthus orientalis* L.  
AUTHOR(S): Kite, Geoffrey C.; Sellwood, Chloe; Wilkin, Paul;  
Simmonds, Monique S. J.  
CORPORATE SOURCE: The Jodrell Laboratory, Royal Botanic Gardens, Surrey,  
TW9 3AB, UK  
SOURCE: Biochemical Systematics and Ecology (1998), 26(3),  
357-359  
CODEN: BSECBU; ISSN: 0305-1978  
PUBLISHER: Elsevier Science Ltd.  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
AB .alpha.-Homonojirimycin was isolated together with some related compds.  
from the leaves of 4 subspecies of *H. orientalis*, but was not found in  
other Hyacinthaceae. The chemotax. significance of this finding is  
discussed.  
IT 197390-30-0P  
RL: BOC (Biological occurrence); BSU (Biological study, unclassified); PUR  
(Purification or recovery); BIOL (Biological study); OCCU (Occurrence);  
PREP (Preparation)  
(.alpha.-homonojirimycin and related compds. from *Hyacinthus*  
*orientalis*)  
RN 197390-30-0 CAPLUS  
CN 2,5-Pyrrolidinedimethanol, 3,4-dihydroxy-.alpha.-(hydroxymethyl)-,  
(2R,3R,4R,5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 10 OF 24 CAPLUS COPYRIGHT 2002 ACS  
ACCESSION NUMBER: 1998:270024 CAPLUS  
DOCUMENT NUMBER: 128:280836  
TITLE: Nitrogen-Containing Furanose and Pyranose Analogs from  
*Hyacinthus orientalis*  
AUTHOR(S): Asano, Naoki; Kato, Atsushi; Miyauchi, Miwa; Kizu,  
Haruhisa; Kameda, Yukihiro; Watson, Alison A.; Nash,  
Robert J.; Fleet, George W. J.  
CORPORATE SOURCE: Faculty of Pharmaceutical Sciences, Hokuriku

09980869

SOURCE: University, Kanazawa, 920-11, Japan  
Journal of Natural Products (1998), 61(5), 625-628  
CODEN: JNPRDF; ISSN: 0163-3864  
PUBLISHER: American Chemical Society  
DOCUMENT TYPE: Journal  
LANGUAGE: English

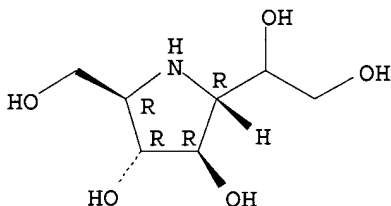
AB Aq. methanol exts. from the bulbs of *Hyacinthus orientalis* were subjected to various ion-exchange column chromatog. steps to give 2(R),5(R)-bis(hydroxymethyl)-3(R),4(R)-dihydropyrrolidine (DMDP) (1), 2,5-dideoxy-2,5-imino-DL-glycero-D-manno-heptitol (homoDMDP) (2), 2,5-imino-2,5,6-trideoxy-D-manno-heptitol (6-deoxy-homoDMDP) (3), 2,5-imino-2,5,6-trideoxy-D-gulo-heptitol (4), 1-deoxynojirimycin (5), 1-deoxymannojirimycin (6), .alpha.-homonojirimycin (7), .beta.-homonojirimycin (8), .alpha.-homomannojirimycin (9), .beta.-homomannojirimycin (10), and 7-O-.beta.-D-glucopyranosyl-.alpha.-homonojirimycin (MDL 25,637) (11). The structures of the new natural products 3 and 4 were detd. by spectroscopic anal., including extensive 1D and 2D NMR studies. Compd. 2 was a potent inhibitor of bacterial .beta.-glucosidase, mammalian .beta.-galactosidases, and mammalian trehalases, while 3 was a potent inhibitor of rice .alpha.-glucosidase and rat intestinal maltase. Compd. 4 was obsd. to be a good inhibitor of .alpha.-L-fucosidase.

IT 197390-30-0P  
RL: BAC (Biological activity or effector, except adverse); BOC (Biological occurrence); BSU (Biological study, unclassified); PUR (Purification or recovery); BIOL (Biological study); OCCU (Occurrence); PREP (Preparation) (isolation of nitrogen-contg. furanose and pyranose analogs from *Hyacinthus orientalis*)

RN 197390-30-0 CAPLUS

CN 2,5-Pyrrolidinedimethanol, 3,4-dihydroxy-.alpha.-(hydroxymethyl)-, (2R,3R,4R,5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 11 OF 24 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1997:628563 CAPLUS

DOCUMENT NUMBER: 127:305337

TITLE: Glycosidase-inhibiting pyrrolidine alkaloids from *Hyacinthoides non-scripta*

AUTHOR(S): Watson, Alison A.; Nash, Robert J.; Wormald, Mark R.; Harvey, David J.; Dealler, Stephen; Lees, Eileen; Asano, Naoki; Kizu, Haruhisa; Kato, Atsushi; Griffiths, Rhodri C.; Cairns, Andrew J.; Fleet, George W. J.

CORPORATE SOURCE: Chemistry Group, Institute of Grassland and Environmental Research, Aberystwyth, SY23 3EB, UK

SOURCE: Phytochemistry (1997), 46(2), 255-259

CODEN: PYTCAS; ISSN: 0031-9422

PUBLISHER: Elsevier

DOCUMENT TYPE: Journal

LANGUAGE: English

09980869

AB The glycosidase-inhibiting pyrrolidine alkaloids (2R,3R,4R,5R)-2,5-dihydroxymethyl-3,4-dihydroxy-pyrrolidine (DMDP), 2,5-dideoxy-2,5-imino-DL-glycero-D-manno-heptitol (homoDMDP), homoDMDP-7-O-apioside and 1,4-dideoxy-1,4-imino-D-arabinitol have been identified in the leaves of bluebells (*Hyacinthoides non-scripta*). HomoDMDP and homoDMDP-7-O-apioside are new natural products. Glycosidase inhibition by the aglycons is compared and could explain the symptoms of poisoning of livestock by bluebells.

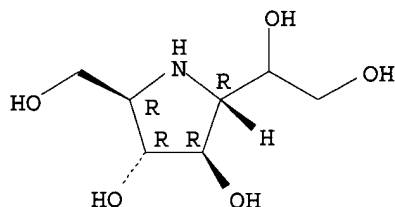
IT 197390-30-0P

RL: BAC (Biological activity or effector, except adverse); BOC (Biological occurrence); BSU (Biological study, unclassified); PUR (Purification or recovery); BIOL (Biological study); OCCU (Occurrence); PREP (Preparation) (glycosidase-inhibiting pyrrolidine alkaloids from *Hyacinthoides non-scripta*)

RN 197390-30-0 CAPLUS

CN 2,5-Pyrrolidinedimethanol, 3,4-dihydroxy-.alpha.-(hydroxymethyl)-, (2R,3R,4R,5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 12 OF 24 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1997:626353 CAPLUS

DOCUMENT NUMBER: 127:278377

TITLE: Inhibition of UDP-Gal mutase and mycobacterial galactan biosynthesis by pyrrolidine analogs of galactofuranose

AUTHOR(S): Lee, Richard E.; Smith, Martin D.; Nash, Robert J.; Griffiths, Rhodri C.; McNeil, Michael; Grewal, Ravinder K.; Yan, Wenxin; Besra, Gurdyal S.; Brennan, Patrick J.; Fleet, George W. J.

CORPORATE SOURCE: Dyson Perrins Lab., Oxford Univ., Oxford, OX1 3QY, UK  
SOURCE: Tetrahedron Letters (1997), 38(38), 6733-6736  
CODEN: TELEAY; ISSN: 0040-4039

PUBLISHER: Elsevier

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Some pyrrolidine analogs of galactofuranose - synthesized from carbohydrate lactones - are the first known inhibitors of *E. coli* K12 UDP-Gal mutase and mycobacterial galactan biosynthesis. This inhibition may form a new chemotherapeutic strategy for the treatment of human pathogens which contain integral galactofuranosyl structures such as tuberculosis and leprosy.

IT 196494-58-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation) (inhibition of UDP-Gal mutase and mycobacterial galactan biosynthesis by pyrrolidine analogs of galactofuranose)

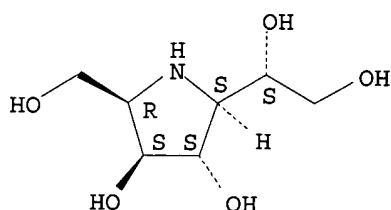
RN 196494-58-3 CAPLUS

CN 2,5-Pyrrolidinedimethanol, 3,4-dihydroxy-.alpha.-(hydroxymethyl)-, [2S-[2.alpha.(R\*),3.beta.,4.alpha.,5.alpha.]]- (9CI) (CA INDEX NAME)



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Absolute stereochemistry. Rotation (-).



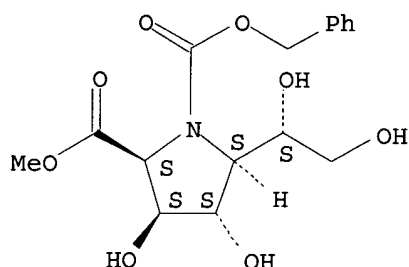
IT 196494-75-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(inhibition of UDP-Gal mutase and mycobacterial galactan biosynthesis by pyrrolidine analogs of galactofuranose)

RN 196494-75-4 CAPLUS

CN 1,2-Pyrrolidinedicarboxylic acid, 5-(1,2-dihydroxyethyl)-3,4-dihydroxy-, 2-methyl 1-(phenylmethyl) ester, [2S-[2.alpha.,3.alpha.,4.beta.,5.alpha.(R\*)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 13 OF 24 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1996:460373 CAPLUS

DOCUMENT NUMBER: 125:222299

TITLE: Total synthesis and chemical design of useful glycosidase inhibitors

AUTHOR(S): Tatsuta, Kuniaki

CORPORATE SOURCE: Graduate School Science and Engineering, Waseda Univ., Tokyo, 169, Japan

SOURCE: Pure and Applied Chemistry (1996), 68(6), 1341-1346  
CODEN: PACHAS; ISSN: 0033-4545

PUBLISHER: Blackwell

DOCUMENT TYPE: Journal

LANGUAGE: English

AB The glycosidase inhibitors, cyclophellitol, nagstatin, and gualamycin, which are microbial metabolites, and their analogs have been synthesized from carbohydrates to clarify their structure-activity relationships. The synthesis of cyclophellitols including the aziridine and thiirane analogs was mainly based on the stereospecific intramol. [3+2] cycloaddn. of a nitrile oxide to an olefin. Nagstatins including a variety of hydroxyl analogs were synthesized by inter- and intramol. nucleophilic reaction of the imidazole moieties. Their glycosidase inhibiting activities were quite substrate-specific, indicating that the glycosidases recognize esp. each carbons and configurations of the glycosidase inhibitors, and consequently, the inhibitors serve as antagonists of the corresponding

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glycopyranosides. Total synthesis of gualamycin was accomplished by glycosidation of a thio-phenol deriv. of the disaccharide portion with a pyrrolidine-aglycon. The anti-mite activity of gualamycin was suggested to be due to its maltase inhibiting activity.

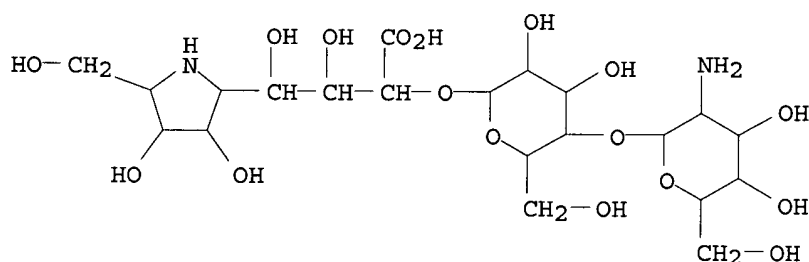
IT **161017-23-8P**, Gualamycin

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(total synthesis of cyclophellitol and nagstatin and gualamycin as glycosidase inhibitors)

RN 161017-23-8 CAPLUS

CN 2-Pyrrolidinebutanoic acid, .alpha.-[[4-O-(2-amino-2-deoxy-.beta.-D-gulopyranosyl)-.alpha.-D-galactopyranosyl]oxy]-.beta.,.gamma.,3,4-tetrahydroxy-5-(hydroxymethyl)-, (.alpha.R,.beta.S,.gamma.S,2S,3S,4S,5S)-(9CI) (CA INDEX NAME)



IT **171074-53-6P**

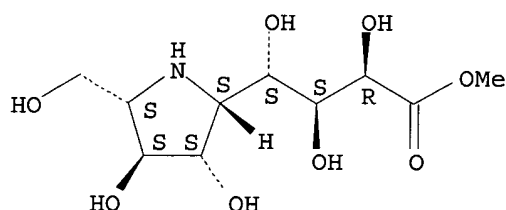
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(total synthesis of cyclophellitol and nagstatin and gualamycin as glycosidase inhibitors)

RN 171074-53-6 CAPLUS

CN 2-Pyrrolidinebutanoic acid, .alpha.,.beta.,.gamma.,3,4-pentahydroxy-5-(hydroxymethyl)-, methyl ester, hydrochloride, [2S-[2.alpha.(.alpha.S\*,.beta.R\*,.gamma.R\*),3.alpha.,4.beta.,5.alpha.]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



● HCl

L4 ANSWER 14 OF 24 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1996:138149 CAPLUS

DOCUMENT NUMBER: 124:165229

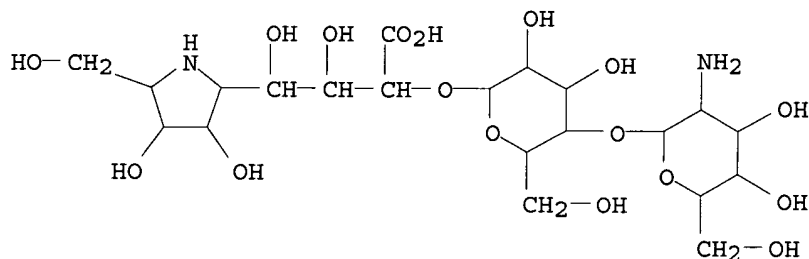
TITLE: Anthelmintics containing antibiotic NK 11687 for animals

INVENTOR(S): Yamashita, Shinobu; Asano, Takeshi; Tsucha, Koichi;

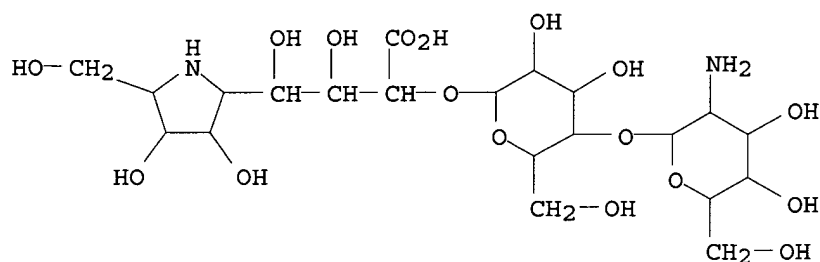
09980869

PATENT ASSIGNEE(S): Nishigori, Takaaki  
 SOURCE: Nippon Kayaku Kk, Japan  
 Jpn. Kokai Tokkyo Koho, 5 pp.  
 CODEN: JKXXAF  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	JP 07330616	A2	19951219	JP 1994-150650	19940609
AB	Anthelmintics for animals contg. NK 11687 (I) or its pharmacol. acceptable salts as an active ingredient are claimed. Forced oral administration of I (30 mg/kg) to mice having pin worm eggs at the fundament for 3 days reduced the no. of pin worms in the intestine and eggs at the fundament from 27.2 to 5.7 and from 41.6 to 4.7, resp. Tablets contg. I were also formulated.				
IT	161017-23-8, NK 11687 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (anthelmintics for animals)				
RN	161017-23-8 CAPLUS				
CN	2-Pyrrolidinebutanoic acid, .alpha.-[[4-O-(2-amino-2-deoxy-.beta.-D-gulopyranosyl)-.alpha.-D-galactopyranosyl]oxy]-.beta.,.gamma.,3,4-tetrahydroxy-5-(hydroxymethyl)-, (.alpha.R,.beta.S,.gamma.S,2S,3S,4S,5S) - (9CI) (CA INDEX NAME)				



L4 ANSWER 15 OF 24 CAPLUS COPYRIGHT 2002 ACS  
 ACCESSION NUMBER: 1996:78024 CAPLUS  
 DOCUMENT NUMBER: 124:232908  
 TITLE: Total synthesis of acaricidal gualamycin  
 AUTHOR(S): Tatsuta, Kuniaki; Kitagawa, Masayuki  
 CORPORATE SOURCE: Graduate School of Science and Engineering, Waseda University, Japan  
 SOURCE: Tennen Yuki Kagobutsu Toronkai Koen Yoshishu (1995), 37th, 97-102  
 CODEN: TYKYDS  
 PUBLISHER: Nippon Kagakkai  
 DOCUMENT TYPE: Journal  
 LANGUAGE: Japanese  
 AB A report from a symposium describing the total synthesis of gualamycin.  
 IT 161017-23-8P, Gualamycin  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (total synthesis of acaricidal gualamycin)  
 RN 161017-23-8 CAPLUS  
 CN 2-Pyrrolidinebutanoic acid, .alpha.-[[4-O-(2-amino-2-deoxy-.beta.-D-gulopyranosyl)-.alpha.-D-galactopyranosyl]oxy]-.beta.,.gamma.,3,4-tetrahydroxy-5-(hydroxymethyl)-, (.alpha.R,.beta.S,.gamma.S,2S,3S,4S,5S) - (9CI) (CA INDEX NAME)



L4 ANSWER 16 OF 24 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1995:913184 CAPLUS

DOCUMENT NUMBER: 124:117800

TITLE: Synthesis and inhibition analysis of five-membered homoaza sugars from D-arabinofuranose via an SN<sub>2</sub> reaction of the chloromethylsulfonate

AUTHOR(S): Hiranuma, Sayoko; Shimizu, Takeshi; Nakata, Tadashi; Kajimoto, Tetsuya; Wong, Chi-Huey

CORPORATE SOURCE: Inst. Phys. Chem. Res. (RIKEN), Saitama, 351-01, Japan

SOURCE: Tetrahedron Letters (1995), 36(45), 8247-50

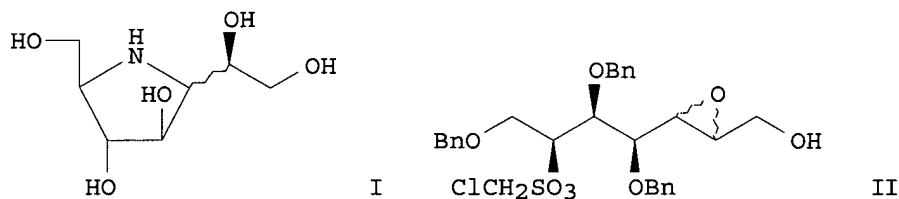
CODEN: TELEAY; ISSN: 0040-4039

PUBLISHER: Elsevier

DOCUMENT TYPE: Journal

LANGUAGE: English

GI



AB Five-membered homoaza sugars I having a side-chain which can be modified to other functional groups or linked to other aglycon moieties were prepd. from 2,3,5-tri-O-benzyl-D-arabinofuranose via a favorable SN<sub>2</sub> reaction of the chloromethylsulfonate II with sodium azide. The obtained aza sugars were potent inhibitors of the .beta.-glucosidase from sweet almond.

IT 173142-29-5P 173142-30-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

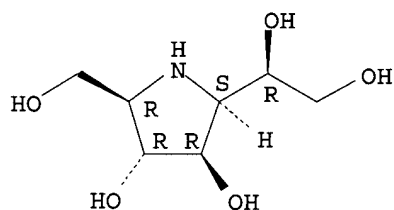
(synthesis and .beta.-glucosidase inhibition anal. of aza sugars from arabinofuranose via azidolysis of chloromethylsulfonate sugar)

RN 173142-29-5 CAPLUS

CN 2,5-Pyrrolidinedimethanol, 3,4-dihydroxy-.alpha.-(hydroxymethyl)-, (.alpha.R,2S,3R,4R,5R)- (9CI) (CA INDEX NAME)

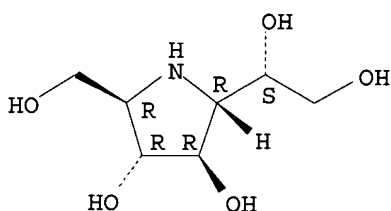
Absolute stereochemistry. Rotation (+).

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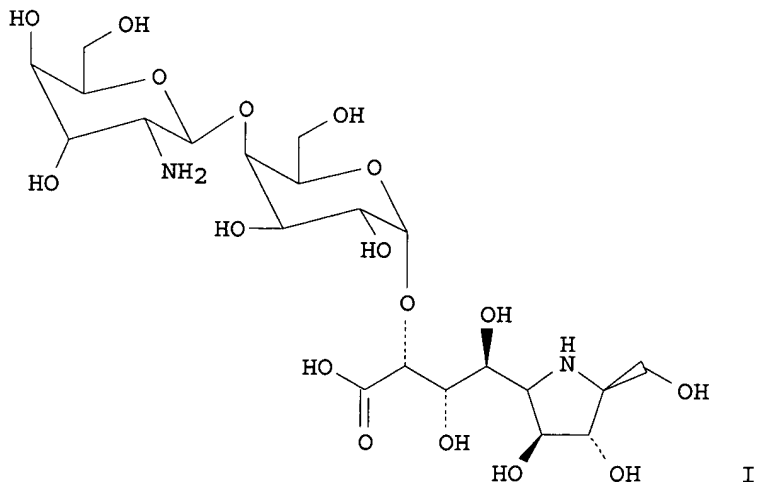


RN 173142-30-8 CAPLUS  
CN 2,5-Pyrrolidinedimethanol, 3,4-dihydroxy-.alpha.-(hydroxymethyl)-,  
(.alpha.S,2R,3R,4R,5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



L4 ANSWER 17 OF 24 CAPLUS COPYRIGHT 2002 ACS  
ACCESSION NUMBER: 1995:825857 CAPLUS  
DOCUMENT NUMBER: 124:56497  
TITLE: Total synthesis of an acaricide, gualamycin  
AUTHOR(S): Tatsuta, Kuniaki; Kitagawa, Masayuki  
CORPORATE SOURCE: Graduate Sch. of Science and Eng., Waseda Univ.,  
Tokyo, 169, Japan  
SOURCE: Tetrahedron Letters (1995), 36(37), 6717-20  
CODEN: TELEAY; ISSN: 0040-4039  
PUBLISHER: Elsevier  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
GI



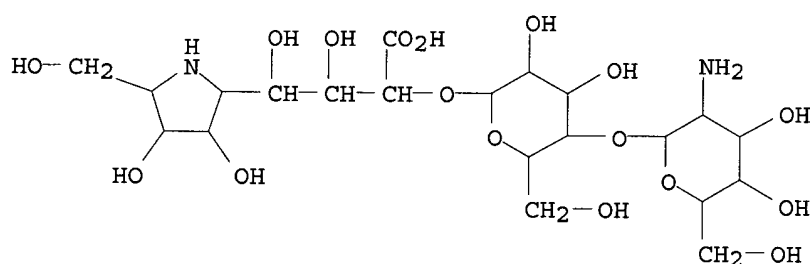
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AB The first enantiospecific total synthesis of gualamycin I has been accomplished by coupling the thio glycoside of the amino-disaccharide portion with the strained di-O-benzylidene deriv. of the pyrrolidine-aglycon.

IT **172161-73-8P**, Gualamycin dihydrochloride  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(total synthesis of acaricide gualamycin)

RN 172161-73-8 CAPLUS

CN 2-Pyrrolidinebutanoic acid, .alpha.-[[4-O-(2-amino-2-deoxy-.beta.-D-gulopyranosyl)-.alpha.-D-galactopyranosyl]oxy]-.beta.,.gamma.,3,4-tetrahydroxy-5-(hydroxymethyl)-, dihydrochloride, [2S-[2.alpha.(.alpha.S\*,.beta.R\*,.gamma.R\*),3.alpha.,4.beta.,5.alpha.]]- (9CI)  
(CA INDEX NAME)



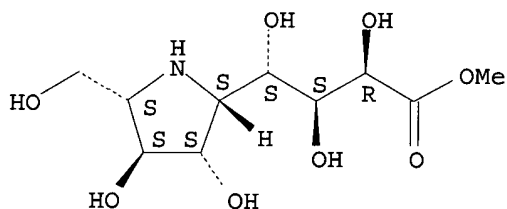
● 2 HCl

IT **172161-74-9**  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(total synthesis of an acaricide gualamycin)

RN 172161-74-9 CAPLUS

CN 2-Pyrrolidinebutanoic acid, .alpha.,.beta.,.gamma.,3,4-pentahydroxy-5-(hydroxymethyl)-, methyl ester, [2S-[2.alpha.(.alpha.S\*,.beta.R\*,.gamma.R\*),3.alpha.,4.beta.,5.alpha.]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 18 OF 24 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1995:721964 CAPLUS

DOCUMENT NUMBER: 124:9205

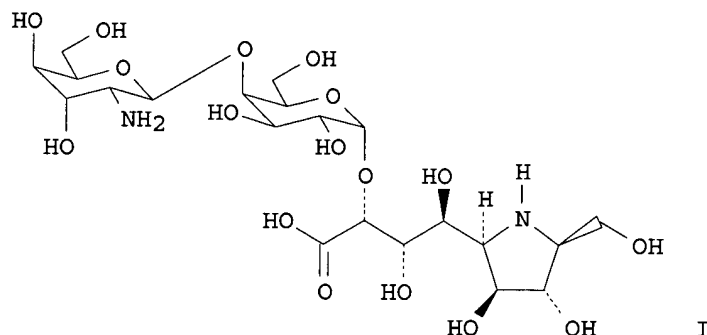
TITLE: Syntheses and absolute structures of the disaccharide and aglycon of Acaricidal gualamycin

AUTHOR(S): Tatsuta, Kuniaki; Kitragawa, Masayuki; Horiuchi, Takao; Tsuchiya, Kouichi; Shimada, Nobuyoshi

CORPORATE SOURCE: Graduate School Science Engineering, Waseda Univ., Tokyo, 169, Japan

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SOURCE: Journal of Antibiotics (1995), 48(7), 741-4  
CODEN: JANTAJ; ISSN: 0021-8820  
PUBLISHER: Japan Antibiotics Research Association  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
GI



AB Abs. structures of the disaccharide and aglycon of acaricidal gualamycin I are reported.

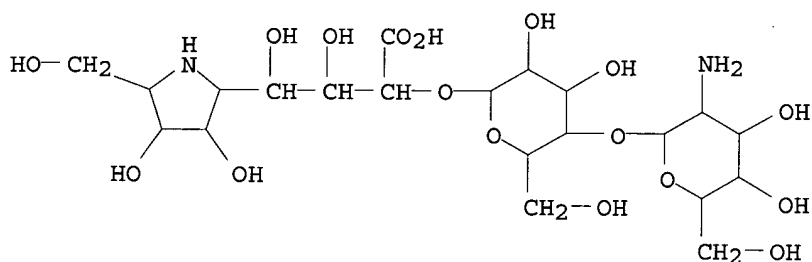
IT 161017-23-8, Gualamycin

RL: PRP (Properties)

(Acaricidal; syntheses and abs. structures of the disaccharide and aglycon of Acaricidal gualamycin)

RN 161017-23-8 CAPLUS

CN 2-Pyrrolidinebutanoic acid, .alpha.-[[4-O-(2-amino-2-deoxy-.beta.-D-gulopyranosyl)-.alpha.-D-galactopyranosyl]oxy]-.beta.,.gamma.,3,4-tetrahydroxy-5-(hydroxymethyl)-, (.alpha.R,.beta.S,.gamma.S,2S,3S,4S,5S)-(9CI) (CA INDEX NAME)



IT 171074-53-6P

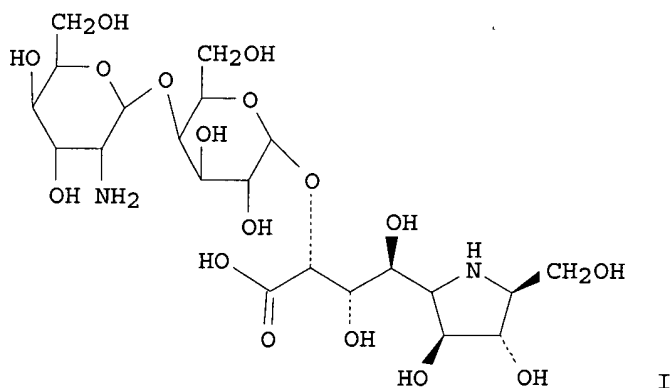
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)  
(syntheses and abs. structures of the disaccharide and aglycon of Acaricidal gualamycin)

RN 171074-53-6 CAPLUS

CN 2-Pyrrolidinebutanoic acid, .alpha.,.beta.,.gamma.,3,4-pentahydroxy-5-(hydroxymethyl)-, methyl ester, hydrochloride, [2S-[2.alpha.(.alpha.S\*,.beta.R\*,.gamma.R\*),3.alpha.,4.beta.,5.alpha.]]-(9CI)  
(CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

L4 ANSWER 19 OF 24 CAPLUS COPYRIGHT 2002 ACS  
ACCESSION NUMBER: 1995:721944 CAPLUS  
DOCUMENT NUMBER: 124:81571  
TITLE: Gualamycin, a novel acaricide produced by Streptomyces  
sp. NK11687. II. Structural elucidation  
AUTHOR(S): Tsuchiya, Kouichi; Kobayashi, Shinichi; Kurokawa,  
Takashi; Nakagawa, Taizo; Shimada, Nobuyoshi  
CORPORATE SOURCE: Applied Microbiology Res. Center, Nippon Kayaku Co.,  
Ltd., Saitama, 362, Japan  
SOURCE: Journal of Antibiotics (1995), 48(7), 630-4  
CODEN: JANTAJ; ISSN: 0021-8820  
PUBLISHER: Japan Antibiotics Research Association  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
GI

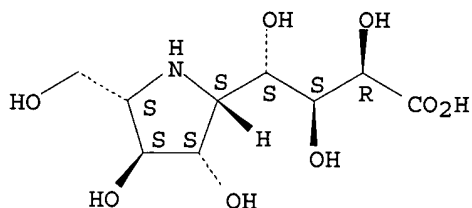


AB	A novel acaricide, gualamycin (I), was previously isolated from the culture broth of Streptomyces sp. NK11687. The structure of I was detd. by FAB-MS, 1H and 13C NMR, COSY, HMQC, HMBC, IR, x-ray crystallog. analyses and synthetic studies.
IT	<b>166449-31-6P</b> RL: PRP (Properties); PUR (Purification or recovery); SPN (Synthetic preparation); PREP (Preparation) (prepn. of)
RN	166449-31-6 CAPLUS
CN	2-Pyrrolidinebutanoic acid, .alpha.,.beta.,.gamma.,3,4-pentahydroxy-5-(hydroxymethyl)-, hydrochloride, [2S-[2.alpha.(.alpha.S*,.beta.R*,.gamma.R*),3.alpha.,4.beta.,5.alpha.]]- (9CI) (CA INDEX NAME)



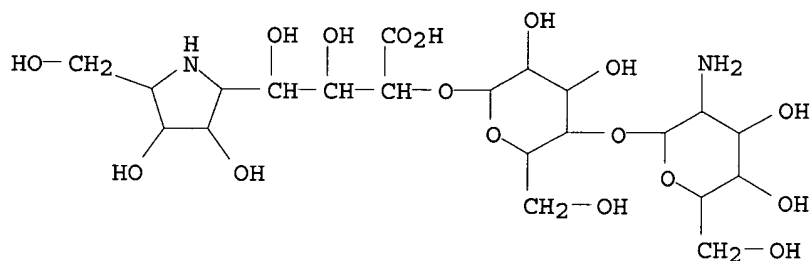
09980869

Absolute stereochemistry. Rotation (+).



● HCl

IT 161017-23-8, Gualamycin  
RL: PRP (Properties); RCT (Reactant); RACT (Reactant or reagent)  
(structure of gualamycin, a novel acaricide from Streptomyces NK11687)  
RN 161017-23-8 CAPLUS  
CN 2-Pyrrolidinebutanoic acid, .alpha.-[[4-O-(2-amino-2-deoxy-.beta.-D-gulopyranosyl)-.alpha.-D-galactopyranosyl]oxy]-.beta.,.gamma.,3,4-tetrahydroxy-5-(hydroxymethyl)-, (.alpha.R,.beta.S,.gamma.S,2S,3S,4S,5S) - (9CI) (CA INDEX NAME)



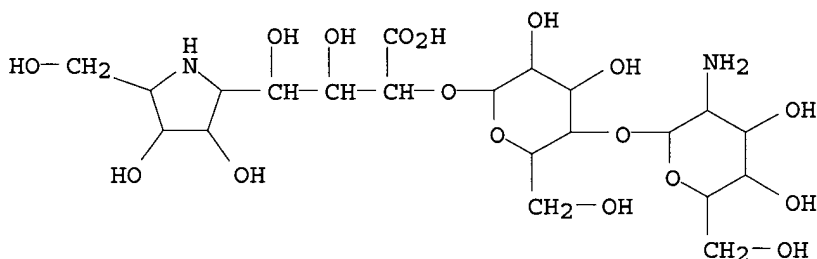
L4 ANSWER 20 OF 24 CAPLUS COPYRIGHT 2002 ACS  
ACCESSION NUMBER: 1995:721943 CAPLUS  
DOCUMENT NUMBER: 123:164757  
TITLE: Gualamycin, a novel acaricide produced by Streptomyces sp. NK11687. I. Taxonomy, production, isolation, and preliminary characterization  
AUTHOR(S): Tsuchiya, Kouichi; Kobayashi, Shinichi; Harada, Takashi; Kurokawa, Takashi; Nakagawa, Taizo; Shimada, Nobuyoshi  
CORPORATE SOURCE: Applied Microbiology Res. Center, Nippon Kayaku Co., Ltd., Saitama, 362, Japan  
SOURCE: Journal of Antibiotics (1995), 48(7), 626-9  
CODEN: JANTAJ; ISSN: 0021-8820  
PUBLISHER: Japan Antibiotics Research Association  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
AB A novel acaricide, gualamycin, was isolated from the culture broth of Streptomyces sp. NK11687. It was purified from the filtrate by column chromatogs. Gualamycin showed 1005 acaricidal activity at 250 .mu.g/mL against sensitive and resistant mites to Dicofol.  
IT 161017-23-8P, Gualamycin  
RL: BAC (Biological activity or effector, except adverse); BOC (Biological occurrence); BPN (Biosynthetic preparation); BSU (Biological study, unclassified); PRP (Properties); PUR (Purification or recovery); BIOL

09980869

(Biological study); OCCU (Occurrence); PREP (Preparation)  
(manuf., isolation, and characterization of gualamycin, a novel  
acaricide from Streptomyces NK11687)

RN 161017-23-8 CAPLUS

CN 2-Pyrrolidinebutanoic acid, .alpha.-[[4-O-(2-amino-2-deoxy-.beta.-D-  
gulopyranosyl)-.alpha.-D-galactopyranosyl]oxy]-.beta.,.gamma.,3,4-  
tetrahydroxy-5-(hydroxymethyl)-, (.alpha.R,.beta.S,.gamma.S,2S,3S,4S,5S)-  
(9CI) (CA INDEX NAME)



L4 ANSWER 21 OF 24 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1995:350824 CAPLUS

DOCUMENT NUMBER: 122:125991

TITLE: Insecticides and miticides containing an  
aminoglycoside as active agent

INVENTOR(S): Tsucha, Koichi; Kobayashi, Kenji; Fukushima, Sumiko;  
Saito, Mikio; Hayaoka, Tatsumi; Kurokawa, Takashi;  
Nakagawa, Taizo

PATENT ASSIGNEE(S): Nippon Kayaku Kk, Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 8 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent

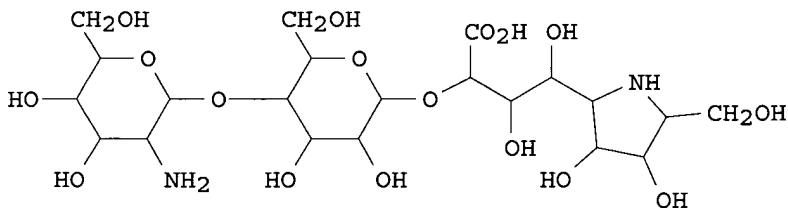
LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 06293755	A2	19941021	JP 1993-345464	19931222
PRIORITY APPLN. INFO.:			JP 1993-15851	19930106

GI



I

AB The active agent is called NK-11687 (I) obtained from the culture medium  
of Streptomyces and is effective against insects like Plutella  
maculipennis and Nilaparvata lugens, and against mites like Tetranychus  
urticae and Panonychus citri.

IT 161017-23-8

RL: AGR (Agricultural use); BAC (Biological activity or effector, except

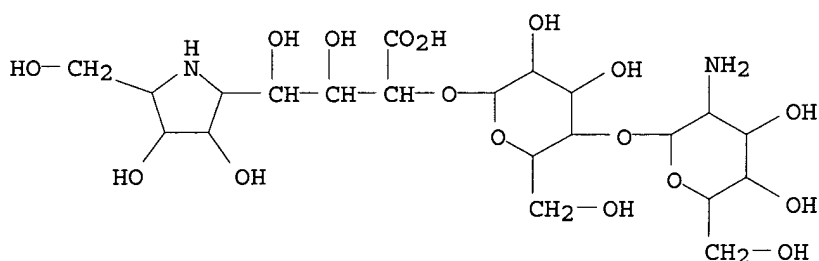
09980869

adverse); BSU (Biological study, unclassified); BIOL (Biological study);  
USES (Uses)

(insecticides and miticides contg. aminoglycoside as active agent)

RN 161017-23-8 CAPLUS

CN 2-Pyrrolidinebutanoic acid, .alpha.-[[4-O-(2-amino-2-deoxy-.beta.-D-gulopyranosyl)-.alpha.-D-galactopyranosyl]oxy]-.beta.,.gamma.,3,4-tetrahydroxy-5-(hydroxymethyl)-, (.alpha.R,.beta.S,.gamma.S,2S,3S,4S,5S) - (9CI) (CA INDEX NAME)



L4 ANSWER 22 OF 24 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1994:218422 CAPLUS

DOCUMENT NUMBER: 120:218422

TITLE: Preparation of pyrrolidin-3,4-diol derivatives of heptitols as inhibitors of glycosidases

INVENTOR(S): Fleet, George W. J.; Winchester, Bryan G.

PATENT ASSIGNEE(S): Monsanto Co., USA

SOURCE: U.S., 14 pp.

CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5250703	A	19931005	US 1992-954199	19920929
US 5300659	A	19940405	US 1993-75940	19930614
US 5384417	A	19950124	US 1994-181716	19940118
PRIORITY APPLN. INFO.:			US 1992-954199	19920929
			US 1993-75940	19930614

OTHER SOURCE(S): CASREACT 120:218422

GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB Novel heptitol analogs of mannofuranose, namely 2,5-dideoxy-2,5-imino-D-glycero-D-talo-heptitol (I) and 1-amino-2,5-anhydro-1-deoxy-D-glycero-D-talo-heptitol, (aminomethyl)deoxymannofuranose, (II) were prepd. from 3,4:6,7-di-O-isopropylidene-D-glycero-D-talo-heptono-1,5-lactone deriv. (III; X = N3, X1 = H) and 3,4:6,7-di-O-isopropylidene-D-glycero-D-galacto-heptono-1,5-lactone III (X = H, X1 = OH), resp. Thus, redn. of azidolactone (X = N3, X1 = H) with (Me2CHCH2)2AlH in THF at -70.degree. to -20.degree. to 2-azido-2-deoxy-3,4:6,7-di-O-isopropylidene-D-glycero-D-talo-heptose followed by redn. with NaBH4 in MeOH gave 2-azido-2-deoxy-D-glycero-D-talo-heptitol deriv. (IV; R = R1 = H, R2R2 = CMe2). Selective silylation of the latter compd. by Me3CSiPh2Cl in DMF

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contg. imidazole followed by mesylation with MeSO<sub>2</sub>Cl in pyridine contg. 4-dimethylaminopyridine and selective deacetonation with 80% aq. AcOH in 1,4-dioxane at 50.degree. gave IV (R = Me<sub>3</sub>CSiPh<sub>2</sub>, R<sub>1</sub> = MeSO(2, R<sub>2</sub> = H). Treatment of the latter compd. with Ba(OMe)<sub>2</sub> in MeOH at 0.degree. to room temp. gave an epoxide (V) which was hydrogenated over Pd black in EtOH to give, after deprotection with aq. CF<sub>3</sub>CO<sub>2</sub>H and salt formation with dil. HCl, I.HCl. I, which is considered structurally as a deriv. of the known .alpha.-mannosidase inhibitor, deoxymannojirimycin, was about twice as effective against .alpha.-mannosidase but much less potent against .alpha.-fucosidase. On the other hand, II was a moderate inhibitor of .alpha.-fucosidase but relatively inactive against .alpha.-mannosidases.

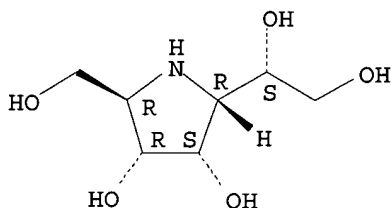
IT 146399-47-5P 154170-59-9P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of, as glycosidase inhibitor)

RN 146399-47-5 CAPLUS

CN 2,5-Pyrrolidinedimethanol, 3,4-dihydroxy-.alpha.-(hydroxymethyl)-,  
[2R-[2.alpha.(S\*),3.alpha.,4.alpha.,5.beta.]]- (9CI) (CA INDEX NAME)

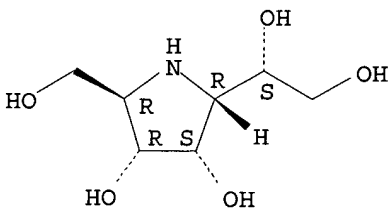
Absolute stereochemistry.



RN 154170-59-9 CAPLUS

CN 2,5-Pyrrolidinedimethanol, 3,4-dihydroxy-.alpha.-(hydroxymethyl)-,  
hydrochloride, [2R-[2.alpha.(S\*),3.alpha.,4.alpha.,5.beta.]]- (9CI) (CA  
INDEX NAME)

Absolute stereochemistry.



● HCl

L4 ANSWER 23 OF 24 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1993:443982 CAPLUS

DOCUMENT NUMBER: 119:43982

TITLE: The structural basis of the inhibition of human  
.alpha.-mannosidases by azafuranose analogs of mannose  
AUTHOR(S): Winchester, Bryan; Al Daher, Samer; Carpenter, Neil  
C.; Cenci di Bello, Isabelle; Choi, Samuel S.;  
Fairbanks, Antony J.; Fleet, George W. J.

CORPORATE SOURCE: Inst. Child Health, Univ. London, London, WC1N 1EH, UK

SOURCE: Biochemical Journal (1993), 290(3), 743-9

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Eight pyrrolidine, five pyrrolizidine and one indolizidine analog(s) of a known .alpha.-mannosidase inhibitor, the azafuranose, 1,4-dideoxy-1,4-imino-D-mannitol (DIM), have been tested for inhibition of multiple forms of .alpha.-mannosidase of human liver in vitro. Substitution of the ring nitrogen markedly decreased or abolished inhibition, but loss of the C-6 hydroxy group, as in 6-deoxy-DIM and 6-deoxy-6-fluoro-DIM, enhanced inhibition, particularly the lysosomal .alpha.-mannosidase. Addn. of the anomeric substituent-CH<sub>2</sub>OH decreased inhibition. To be a potent inhibitor of the lysosomal, Golgi II, and neutral .alpha.-mannosidases, a polyhydroxylated pyrrolidine must have the same substituents and chirality as mannofuranose at C-2, C-3, C-4 and C-5. These four chiral centers can also be part of a polyhydroxylated indolizidine, e.g. swainsonine, but not of a pyrrolizidine, e.g. cyclized DIM, ring-contracted swainsonine or 1,7-diepi-australine. DIM did not inhibit lysosomal .alpha.-mannosidase intracellularly, but both 6-deoxy-DIM and 6-deoxy-6-fluoro-DIM caused accumulation of partially catabolized glycans in normal human fibroblasts. Anal. of these induced storage products by HPLC showed that both compds. also inhibited Golgi .alpha.-mannosidase II and that 6-deoxy-6-fluoro-DIM was also a good inhibitor of the endoplasmic reticulum .alpha.-mannosidase and specific lysosomal .alpha.-(1-6)-mannosidase. None of the mannofuranose analogs appeared to inhibit Golgi .alpha.-mannosidase I.

IT 146399-47-5

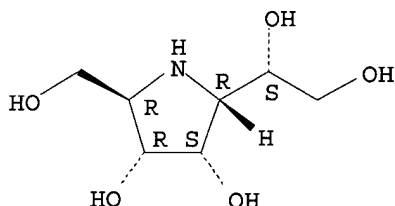
RL: BIOL (Biological study)

(mannosidase multiple forms of human inhibition by, structure relation to)

RN 146399-47-5 CAPLUS

CN 2,5-Pyrrolidinedimethanol, 3,4-dihydroxy-.alpha.-(hydroxymethyl)-, [2R-[2.alpha.(S\*),3.alpha.,4.alpha.,5.beta.]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 24 OF 24 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1993:147933 CAPLUS

DOCUMENT NUMBER: 118:147933

TITLE: Inhibition of .alpha.-mannosidases by seven carbon sugars: synthesis of some seven carbon analogs of mannofuranose

AUTHOR(S): Myerscough, Paul M.; Fairbanks, Antony J.; Jones, Aled H.; Bruce, Ian; Choi, Sikman S.; Fleet, George W. J.; Al-Daher, Samer S.; Cenci di Bello, Isabelle; Winchester, Bryan

CORPORATE SOURCE: Dyson Perrins Lab., Oxford Univ., Oxford, OX1 3QY, UK  
SOURCE: Tetrahedron (1992), 48(46), 10177-90

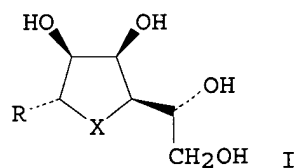
CODEN: TETRAB; ISSN: 0040-4020

DOCUMENT TYPE: Journal

LANGUAGE: English

GI

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AB The syntheses of the seven carbon mannofuranose analogs, e.g. I (R = CH<sub>2</sub>OH, X = NH; R = CH<sub>2</sub>NH<sub>2</sub>, X = O), are described. The effects of I on human liver glycosidases are compared with those of deoxymannojirimycin and I (R = H, X = N).

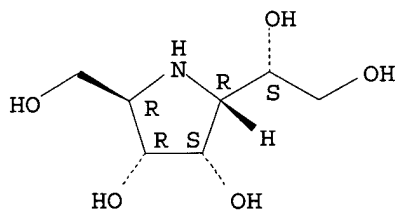
IT **146399-47-5P**

RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. and glycosidase inhibition by)

RN 146399-47-5 CAPLUS

CN 2,5-Pyrrolidinedimethanol, 3,4-dihydroxy-.alpha.-(hydroxymethyl)-,  
[2R-[2.alpha.(S\*),3.alpha.,4.alpha.,5.beta.]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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NEWS	6	Apr 22	Records from IP.com available in CAPLUS, HCAPLUS, and ZCAPLUS
NEWS	7	Apr 22	BIOSIS Gene Names now available in TOXCENTER
NEWS	8	Apr 22	Federal Research in Progress (FEDRIP) now available
NEWS	9	Jun 03	New e-mail delivery for search results now available
NEWS	10	Jun 10	MEDLINE Reload
NEWS	11	Jun 10	PCTFULL has been reloaded
NEWS	12	Jul 02	FOREGE no longer contains STANDARDS file segment
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NEWS	14	Jul 29	Enhanced polymer searching in REGISTRY
NEWS	15	Jul 30	NETFIRST to be removed from STN
NEWS	16	Aug 08	CANCERLIT reload
NEWS	17	Aug 08	PHARMAMarketLetter(PHARMAML) - new on STN
NEWS	18	Aug 08	NTIS has been reloaded and enhanced
NEWS	19	Aug 19	Aquatic Toxicity Information Retrieval (AQUIRE) now available on STN
NEWS	20	Aug 19	IFIPAT, IFICDB, and IFIUDB have been reloaded
NEWS	21	Aug 19	The MEDLINE file segment of TOXCENTER has been reloaded
NEWS	22	Aug 26	Sequence searching in REGISTRY enhanced
NEWS	23	Sep 03	JAPIO has been reloaded and enhanced
NEWS	24	Sep 16	Experimental properties added to the REGISTRY file
NEWS	25	Sep 16	Indexing added to some pre-1967 records in CA/CAPLUS
NEWS	26	Sep 16	CA Section Thesaurus available in CAPLUS and CA
NEWS	27	Oct 01	CASREACT Enriched with Reactions from 1907 to 1985
NEWS	28	Oct 21	EVENTLINE has been reloaded
NEWS	29	Oct 24	BEILSTEIN adds new search fields
NEWS	30	Oct 24	Nutraceuticals International (NUTRACEUT) now available on STN
NEWS	31	Oct 25	MEDLINE SDI run of October 8, 2002
NEWS	32	Nov 18	DKILIT has been renamed APOLLIT
NEWS	33	Nov 25	More calculated properties added to REGISTRY
NEWS	34	Dec 02	TIBKAT will be removed from STN
NEWS	35	Dec 04	CSA files on STN

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AND CURRENT DISCOVER FILE IS DATED 01 OCTOBER 2002

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=> fil reg

COST IN U.S. DOLLARS

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TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

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0.21

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DICTIONARY FILE UPDATES: 3 DEC 2002 HIGHEST RN 475040-20-1

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<http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf>

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L1 STRUCTURE UPLOADED

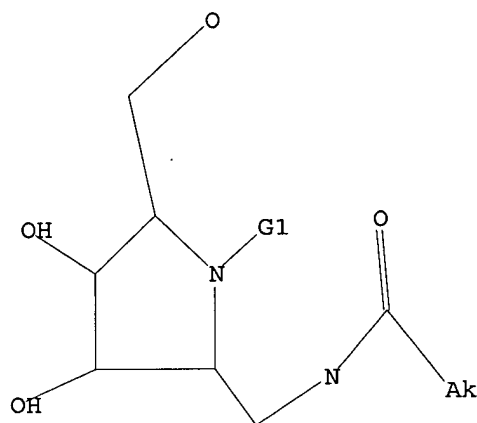
=> d

L1 HAS NO ANSWERS

L1 STR



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G1 H, Ak

Structure attributes must be viewed using STN Express query preparation.

=> s l1 sss sam

SAMPLE SEARCH INITIATED 10:01:31 FILE 'REGISTRY'  
SAMPLE SCREEN SEARCH COMPLETED - 20 TO ITERATE

100.0% PROCESSED 20 ITERATIONS  
SEARCH TIME: 00.00.01

0 ANSWERS

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*  
BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS: 132 TO 668  
PROJECTED ANSWERS: 0 TO 0

L2 0 SEA SSS SAM L1

=> s l1 full

FULL SEARCH INITIATED 10:01:36 FILE 'REGISTRY'  
FULL SCREEN SEARCH COMPLETED - 425 TO ITERATE

100.0% PROCESSED 425 ITERATIONS  
SEARCH TIME: 00.00.02

16 ANSWERS

L3 16 SEA SSS FUL L1

=> fil caplus

COST IN U.S. DOLLARS

SINCE FILE	TOTAL
ENTRY	SESSION
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FULL ESTIMATED COST

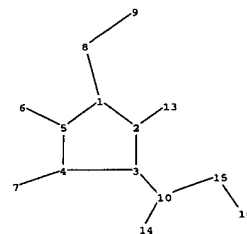
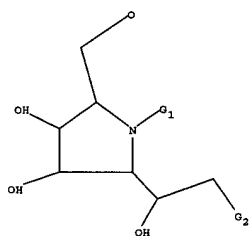
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chain nodes :

6 7 8 9 10 13 14 15 16 17 18 19 20

ring nodes :

1 2 3 4 5

chain bonds :

1-8 2-13 3-10 4-7 5-6 8-9 10-14 10-15 15-16 17-18 18-19 18-20

ring bonds :

1-2 1-5 2-3 3-4 4-5

exact/norm bonds :

1-2 2-3 2-13 4-7 5-6 8-9 10-14 15-16 17-18 18-19 18-20

exact bonds :

1-5 1-8 3-4 3-10 4-5 10-15

isolated ring systems :

containing 1 :

G1:H,Ak

G2:OH, [\*1]

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:CLASS 7:CLASS 8:CLASS 9:CLASS 10:CLASS  
13:CLASS 14:CLASS 15:CLASS 16:CLASS 17:CLASS 18:CLASS 19:CLASS 20:CLASS

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L4 13 L3

=> d l4 1-13 ibib abs hitstr

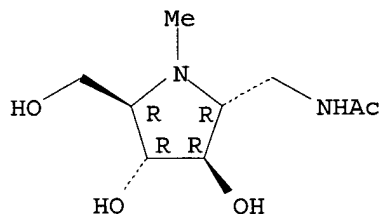
L4 ANSWER 1 OF 13 CAPLUS COPYRIGHT 2002 ACS  
ACCESSION NUMBER: 2001:505094 CAPLUS  
DOCUMENT NUMBER: 136:325  
TITLE: Hexosaminidase inhibitors as new drug candidates for the therapy of osteoarthritis  
AUTHOR(S): Liu, J.; Shikhman, A. R.; Lotz, M. K.; Wong, C.-H.  
CORPORATE SOURCE: Department of Chemistry, The Scripps Research Institute, La Jolla, CA, 92037, USA  
SOURCE: Chemistry & Biology (2001), 8(7), 701-711  
CODEN: CBOLE2; ISSN: 1074-5521  
PUBLISHER: Elsevier Science Ltd.  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
AB Background: Articular cartilage from patients with osteoarthritis is characterized by a decreased concn. and reduced size of glycosaminoglycans. Degeneration of the cartilage matrix is a multifactorial process, which is due in part to accelerated glycosaminoglycan catabolism. Recently, we have demonstrated that hexosaminidase represents the dominant glycosaminoglycan-degrading glycosidase released by chondrocytes into the extracellular compartment and is the dominant glycosidase in synovial fluid from patients with osteoarthritis. Inhibition of hexosaminidase activity may represent a novel approach to the prevention of cartilage matrix glycosaminoglycan degradn. and a potentially new strategy to treat osteoarthritis. Results: We have synthesized and investigated a series of iminocyclitols designed as transition-state analog inhibitors of human hexosaminidase, and demonstrated that the five-membered iminocyclitol expresses the strongest inhibitory activity with  $K_i=24$  nM. Inhibition of hexosaminidase activity in human cultured articular chondrocytes and human chondrosarcoma cells with iminocyclitol resulted in accumulation of hyaluronic acid and sulfated glycosaminoglycans in the cell-assocd. fraction. Similarly, incubation of human cartilage tissue with iminocyclitol resulted in an accumulation of glycosaminoglycans in the pericellular compartment. Conclusions: Inhibition of hexosaminidase activity represents a new strategy for preventing or even reversing cartilage degradn. in patients with osteoarthritis.  
IT 231618-75-0 306297-21-2  
RL: PAC (Pharmacological activity); RCT (Reactant); THU (Therapeutic use); BIOL (Biological study); RACT (Reactant or reagent); USES (Uses)  
(hexosaminidase inhibitors as new drug candidates for therapy of osteoarthritis)

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RN 231618-75-0 CAPLUS

CN Acetamide, N-[[ (2R,3R,4R,5R)-3,4-dihydroxy-5-(hydroxymethyl)-1-methyl-2-pyrrolidinyl]methyl]- (9CI) (CA INDEX NAME)

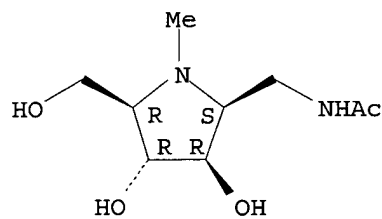
Absolute stereochemistry. Rotation (-).



RN 306297-21-2 CAPLUS

CN Acetamide, N-[[ (2S,3R,4R,5R)-3,4-dihydroxy-5-(hydroxymethyl)-1-methyl-2-pyrrolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 306297-55-2P 306297-73-4P

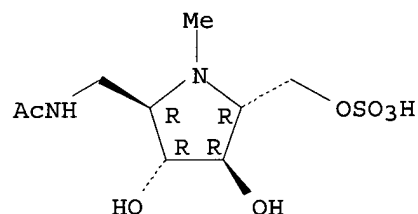
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(hexosaminidase inhibitors as new drug candidates for therapy of osteoarthritis)

RN 306297-55-2 CAPLUS

CN Acetamide, N-[[ (2R,3R,4R,5R)-3,4-dihydroxy-1-methyl-5-[(sulfooxy)methyl]-2-pyrrolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

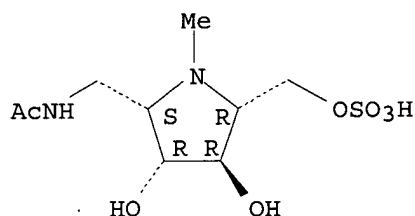


RN 306297-73-4 CAPLUS

CN Acetamide, N-[[ (2S,3R,4R,5R)-3,4-dihydroxy-1-methyl-5-[(sulfooxy)methyl]-2-pyrrolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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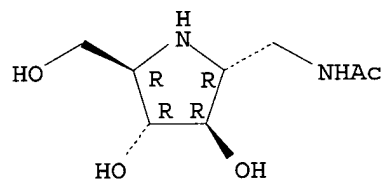
IT 150571-23-6 150653-72-8

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(hexosaminidase inhibitors as new drug candidates for therapy of osteoarthritis)

RN 150571-23-6 CAPLUS

CN Acetamide, N-[[[(2R,3R,4R,5R)-3,4-dihydroxy-5-(hydroxymethyl)-2-pyrrolidinyl]methyl]- (9CI) (CA INDEX NAME)

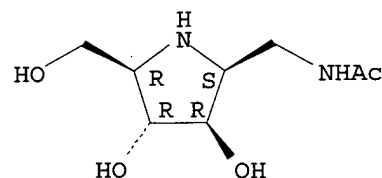
Absolute stereochemistry. Rotation (+).



RN 150653-72-8 CAPLUS

CN Acetamide, N-[[[(2S,3R,4R,5R)-3,4-dihydroxy-5-(hydroxymethyl)-2-pyrrolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 306297-61-0P

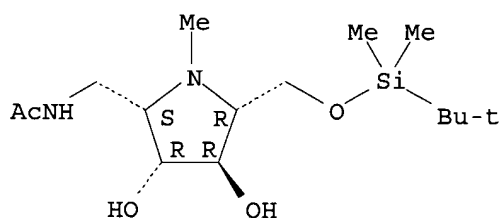
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(hexosaminidase inhibitors as new drug candidates for therapy of osteoarthritis)

RN 306297-61-0 CAPLUS

CN Acetamide, N-[[[(2S,3R,4R,5R)-5-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]methyl]-3,4-dihydroxy-1-methyl-2-pyrrolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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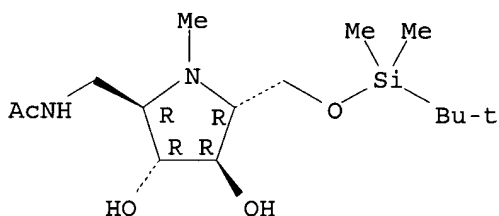
IT 306297-46-1P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(hexosaminidase inhibitors as new drug candidates for therapy of  
osteoarthritis)

RN 306297-46-1 CAPLUS

CN Acetamide, N-[[[(2R,3R,4R,5R)-5-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]methyl]-3,4-dihydroxy-1-methyl-2-pyrrolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 37 THERE ARE 37 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 13 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 2001:330838 CAPLUS

DOCUMENT NUMBER: 135:122363

TITLE: Powerful probes for glycosidases novel, fluorescently  
tagged glycosidase inhibitors

AUTHOR(S): Hermetter, Albin; Scholze, Hubert; Stutz, Arnold E.;  
Withers, Stephen G.; Wrodnigg, Tanja M.

CORPORATE SOURCE: Institut fur Biochemie der Technischen Universitat  
Graz, Graz, A-8010, Austria

SOURCE: Bioorganic & Medicinal Chemistry Letters (2001),  
11(10), 1339-1342

CODEN: BMCLE8; ISSN: 0960-894X

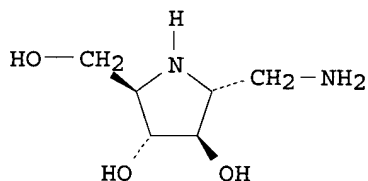
PUBLISHER: Elsevier Science Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 135:122363

GI



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AB 1-Amino-1,2,5-trideoxy-2,5-imino-D-mannitol(I) was fluorescently tagged by reaction with dansyl chloride at N-1 or by attachment of a dansyl amide bearing spacer to this center. Compds. obtained are highly potent inhibitors of .beta.-glucosidase exhibiting Ki values in the single figure nanomolar range. The 1-N-dansyl substituted inhibitor was successfully exploited for binding studies with .beta.-glucosidase from Agrobacterium sp. employing fluorescence spectrometric methods.

IT 351351-92-3P

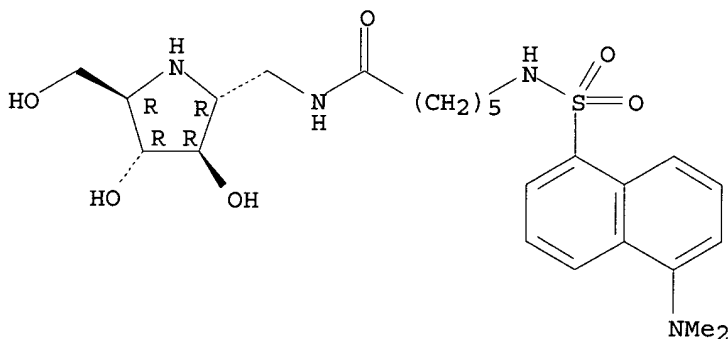
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

```
(prepn. and evaluation of fluorescently tagged stereo-specific
pyrrolidine derivs. as .beta.-glucosidase inhibitors)
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RN 351351-92-3 CAPLUS

CN Hexanamide, N-[[[(2R,3R,4R,5R)-3,4-dihydroxy-5-(hydroxymethyl)-2-pyrrolidinyl)methyl]-6-[[[5-(dimethylamino)-1-naphthalenyl]sulfonyl]amino]-(9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 13 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 2001:279046 CAPLUS

DOCUMENT NUMBER: 135:133923

TITLE: Novel, lipophilic derivatives of 2,5-dideoxy-2,5-imino-D-mannitol (DMDP) are powerful .beta.-glucosidase inhibitors

AUTHOR(S) : Wrodnigg, T. M.; Withers, S. G.; Stutz, A. E.

CORPORATE SOURCE: Glycogroup, Institut für Organische Chemie, Technische Universität Graz, Graz, A-8010, Austria

SOURCE: Bioorganic & Medicinal Chemistry Letters (2001), 11(8), 1063-1064

CODEN: BMCLE8; ISSN: 0960-894X

PUBLISHER: Elsevier Science Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S) : CASREACT 135:133923

AB Novel derivs. of the d-glucosidase inhibitor 2,5-dideoxy-2,5-imino-D-mannitol bearing lipophilic aliph. or arom. amides attached to C-1 have been found to inhibit  $\beta$ -glucosidase from *Agrobacterium* sp. in the nanomolar range. One of them, a coumarin deriv., ranks amongst the most active compds. in the class of reversible glycosidase inhibitors of the iminoalditol type. Novel 1-N-acyl and 1-N-sulfonyl derivs. of 1-amino-1,2,5-trideoxy-2,5-imino-D-mannitol exhibiting  $K_i$  values in the nanomolar range are reported.

IT 351871-08-4P 351871-09-5P

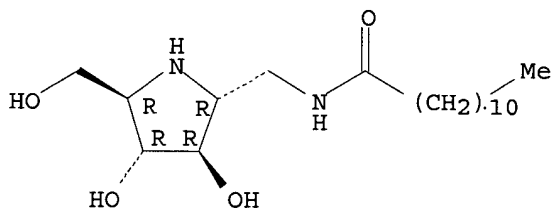
09980869

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
(prepn. and structure activity relations of novel, lipophilic derivs. of dideoxyiminomannitol as .beta.-glucosidase inhibitors)

RN 351871-08-4 CAPLUS

CN Dodecanamide, N-[[[(2R,3R,4R,5R)-3,4-dihydroxy-5-(hydroxymethyl)-2-pyrrolidinyl]methyl]- (9CI) (CA INDEX NAME)

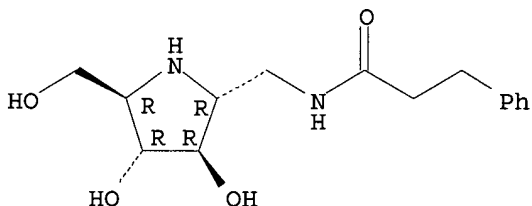
Absolute stereochemistry. Rotation (+).



RN 351871-09-5 CAPLUS

CN Benzenepropanamide, N-[[[(2R,3R,4R,5R)-3,4-dihydroxy-5-(hydroxymethyl)-2-pyrrolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 13 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 2000:814457 CAPLUS

DOCUMENT NUMBER: 133:350461

TITLE: Preparation of iminocyclitol inhibitors of hexoaminidase and glycosidase

INVENTOR(S): Wong, Chi-huey; Liu, Jungie

PATENT ASSIGNEE(S): The Scripps Research Institute, USA

SOURCE: PCT Int. Appl., 52 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

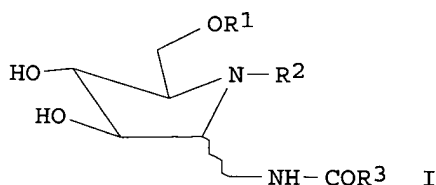
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000068194	A1	20001116	WO 2000-US13048	20000511
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA,			



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ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM  
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE,  
DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF,  
CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG  
EP 1181274 A1 20020227 EP 2000-930662 20000511  
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
IE, SI, LT, LV, FI, RO

PRIORITY APPLN. INFO.: US 1999-133549P P 19990511  
WO 2000-US13048 W 20000511  
OTHER SOURCE(S): MARPAT 133:350461  
GI



AB Iminocyclitols I wherein: R1 is selected from the group consisting of hydrogen, sulfate, and Me sulfate; R2 is selected from the group consisting of hydrogen, Me, Et, and a branched or unbranched hydrocarbon having between 3 and 8 carbons; and R3 is a hydrocarbon having between 1 and 50 carbon atoms, were prepd. and have potent inhibition activity with respect to hexoaminidases and glycosidases. Thus, . (1'R,2S,3R,4R,5R)-3,4-dihydroxy-2-[1',2'-dihydroxy-ethyl]-5-hydroxymethyl-pyrrolidine was prepd. and tested as .beta.-glucosidase inhibitor ( $K_i = 2.6 \mu\text{M}$ ).

IT 150653-72-8P 306297-21-2P

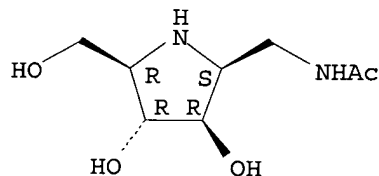
RL: BAC (Biological activity or effector, except adverse); BPN (Biosynthetic preparation); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of iminocyclitol inhibitors of hexoaminidase and glycosidase)

RN 150653-72-8 CAPLUS

CN Acetamide, N-[[[(2S,3R,4R,5R)-3,4-dihydroxy-5-(hydroxymethyl)-2-pyrrolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

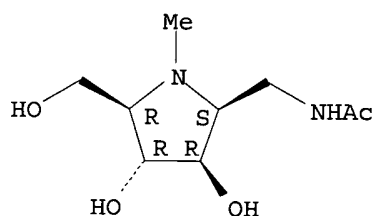


RN 306297-21-2 CAPLUS

CN Acetamide, N-[[[(2S,3R,4R,5R)-3,4-dihydroxy-5-(hydroxymethyl)-1-methyl-2-pyrrolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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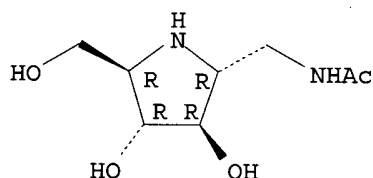
IT 150571-23-6P 231618-75-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
(prepn. of iminocyclitol inhibitors of hexoaminidase and glycosidase)

RN 150571-23-6 CAPLUS

CN Acetamide, N-[[[(2R,3R,4R,5R)-3,4-dihydroxy-5-(hydroxymethyl)-2-pyrrolidinyl]methyl]- (9CI) (CA INDEX NAME)

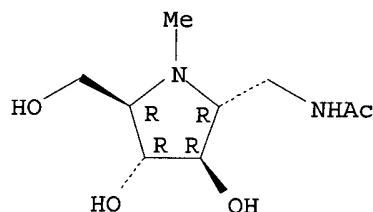
Absolute stereochemistry. Rotation (+).



RN 231618-75-0 CAPLUS

CN Acetamide, N-[[[(2R,3R,4R,5R)-3,4-dihydroxy-5-(hydroxymethyl)-1-methyl-2-pyrrolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



IT 172936-45-7P 306297-55-2P 306297-73-4P  
306297-78-9P

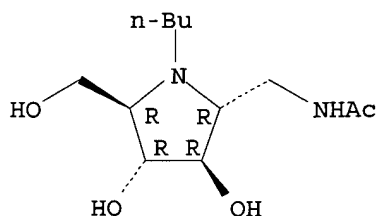
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(prepn. of iminocyclitol inhibitors of hexoaminidase and glycosidase)

RN 172936-45-7 CAPLUS

CN Acetamide, N-[[[(2R,3R,4R,5R)-1-butyl-3,4-dihydroxy-5-(hydroxymethyl)-2-pyrrolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

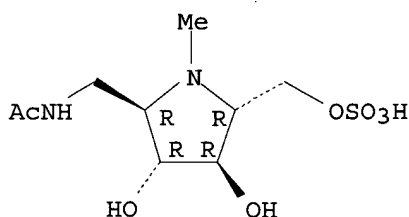
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RN 306297-55-2 CAPLUS

CN Acetamide, N-[[[(2R,3R,4R,5R)-3,4-dihydroxy-1-methyl-5-[(sulfooxy)methyl]-2-pyrrolidinyl]methyl]- (9CI) (CA INDEX NAME)

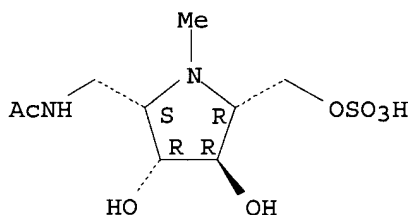
Absolute stereochemistry.



RN 306297-73-4 CAPLUS

CN Acetamide, N-[[[(2S,3R,4R,5R)-3,4-dihydroxy-1-methyl-5-[(sulfooxy)methyl]-2-pyrrolidinyl]methyl]- (9CI) (CA INDEX NAME)

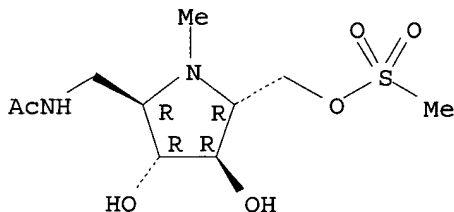
Absolute stereochemistry.



RN 306297-78-9 CAPLUS

CN Acetamide, N-[[[(2R,3R,4R,5R)-3,4-dihydroxy-1-methyl-5-[[[(methanesulfonyl)oxy]methyl]-2-pyrrolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 306297-46-1P 306297-61-0P

RL: BPN (Biosynthetic preparation); RCT (Reactant); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)

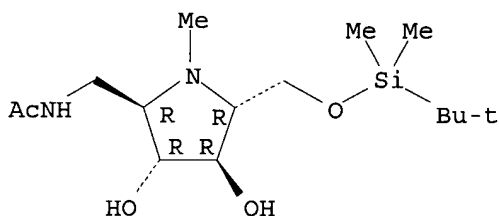
09980869

(prepn. of iminocyclitol inhibitors of hexoaminidase and glycosidase)

RN 306297-46-1 CAPLUS

CN Acetamide, N-[[[(2R,3R,4R,5R)-5-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]methyl]-3,4-dihydroxy-1-methyl-2-pyrrolidinyl]methyl]- (9CI) (CA INDEX NAME)

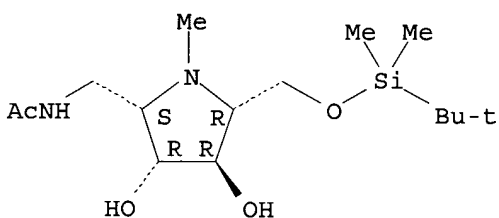
Absolute stereochemistry.



RN 306297-61-0 CAPLUS

CN Acetamide, N-[[[(2S,3R,4R,5R)-5-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]methyl]-3,4-dihydroxy-1-methyl-2-pyrrolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 13 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 2000:787884 CAPLUS

DOCUMENT NUMBER: 134:71810

TITLE: Biologically active 1-aminodeoxy and 1-O-alkyl derivatives of the powerful D-glucosidase inhibitor 2,5-dideoxy-2,5-imino-D-mannitol

AUTHOR(S): Wrodnigg, Tanja M.; Gaderbauer, Walter; Greimel, Peter; Hausler, Herwig; Sprenger, Friedrich K.; Stutz, Arnold E.; Virgona, Chris; Withers, Stephen G.

CORPORATE SOURCE: Glycogroup, Institut fur Organische Chemie, Technische Universitat Graz, Graz, A-8010, Austria

SOURCE: Journal of Carbohydrate Chemistry (2000), 19(8), 975-990

CODEN: JCACDM; ISSN: 0732-8303

PUBLISHER: Marcel Dekker, Inc.

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 134:71810

AB By an Amadori rearrangement of easily available 5-azido-5-deoxy-D-glucofuranose with dibenzylamine and subsequent catalytic hydrogenation of the resulting 5-azido-1-(N,N-dibenzyl)amino-1,5-dideoxy-D-fructopyranose, 1-amino-1,2,5-trideoxy-2,5-imino-D-mannitol was obtained in only two steps and in excellent overall yield. Likewise, other amines were employed to introduce extended side chains ultimately suitable for attachment of the inhibitor to solid supports. The reported rearrangement reaction is a high yielding, convenient and apparently general entry to

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1-aminodeoxyketopyranoses modified at C-5, facilitated by the ring enlargement of the aldofuranose to the ketopyranose as an addnl. driving force. A range of selected chain extended analogs was prepd. by acylation of N-1. Inhibitors obtained exhibit  $K_i$ -values with D-glucosidases in the micromolar range. Interestingly, 1-N-acylation resulted in superior inhibitory activities, as did the addn. of a hexyl chain.

IT 150571-23-6P 315190-54-6P 315190-55-7P

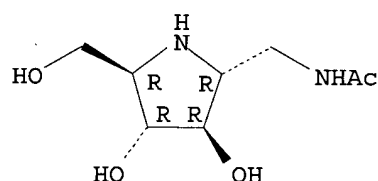
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(prepn. of biol. active aminodeoxy and alkyl aminotrideoxyiminomannitol derivs. of the powerful D-glucosidase inhibitor 2,5-dideoxy-2,5-imino-D-mannitol)

RN 150571-23-6 CAPLUS

CN Acetamide, N-[[[(2R,3R,4R,5R)-3,4-dihydroxy-5-(hydroxymethyl)-2-pyrrolidinyl]methyl]- (9CI) (CA INDEX NAME)

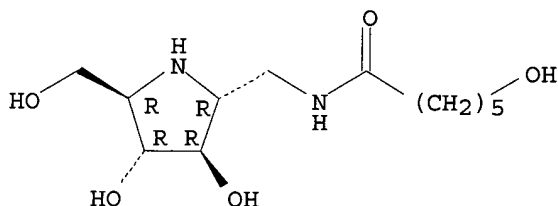
Absolute stereochemistry. Rotation (+).



RN 315190-54-6 CAPLUS

CN Hexanamide, N-[[[(2R,3R,4R,5R)-3,4-dihydroxy-5-(hydroxymethyl)-2-pyrrolidinyl]methyl]-6-hydroxy- (9CI) (CA INDEX NAME)

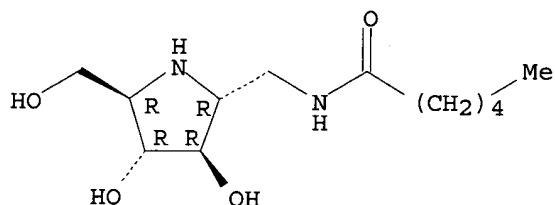
Absolute stereochemistry. Rotation (+).



RN 315190-55-7 CAPLUS

CN Hexanamide, N-[[[(2R,3R,4R,5R)-3,4-dihydroxy-5-(hydroxymethyl)-2-pyrrolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



REFERENCE COUNT:

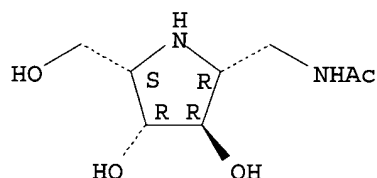
18

THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

09980869

L4 ANSWER 6 OF 13 CAPLUS COPYRIGHT 2002 ACS  
ACCESSION NUMBER: 2000:125861 CAPLUS  
DOCUMENT NUMBER: 132:237302  
TITLE: Synthesis and evaluation as glycosidase inhibitors of  
2,5-imino-D-glucitol and 1,5-imino-D-mannitol related  
derivatives  
AUTHOR(S): McCort, Isabelle; Fort, Sebastien; Dureault, Annie;  
Depezay, Jean-Claude  
CORPORATE SOURCE: Universite Rene Descartes, Laboratoire de Chimie et  
Biochimie Pharmacologiques et Toxicologiques, associe  
au CNRS, Paris, 75270, Fr.  
SOURCE: Bioorganic & Medicinal Chemistry (2000), 8(1), 135-143  
CODEN: BMECEP; ISSN: 0968-0896  
PUBLISHER: Elsevier Science Ltd.  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
AB Selectively functionalized 2,5-imino-D-glucitol and 1,5-imino-D-mannitol  
derivs. were synthesized and tested as precursors of hydrolytically  
resistant pseudo-disaccharides. Among them N-acetyl-6-amino-6-deoxy-2,5-  
imino-D-glucitol and N-acetyl-6-amino-6-deoxy-1,5-imino-D-mannitol were  
found to be potent and specific inhibitors against .beta.-D-glucosidase  
and .alpha.-L-fucosidase, resp.  
IT 188019-34-3P  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological  
study, unclassified); SPN (Synthetic preparation); BIOL (Biological  
study); PREP (Preparation)  
(synthesis and evaluation as glycosidase inhibitors of iminoglucitol  
and iminomannitol related derivs.)  
RN 188019-34-3 CAPLUS  
CN Acetamide, N-[[[(2R,3R,4R,5S)-3,4-dihydroxy-5-(hydroxymethyl)-2-  
pyrrolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 7 OF 13 CAPLUS COPYRIGHT 2002 ACS  
ACCESSION NUMBER: 1999:390839 CAPLUS  
DOCUMENT NUMBER: 131:102464  
TITLE: A Versatile Synthetic Strategy for the Preparation and  
Discovery of New Iminocyclitols as Inhibitors of  
Glycosidases  
AUTHOR(S): Takebayashi, Maki; Hiranuma, Sayoko; Kanie, Yoshimi;  
Kajimoto, Tetsuya; Kanie, Osamu; Wong, Chi-Huey  
CORPORATE SOURCE: Frontier Research Program, The Institute of Physical  
and Chemical Research (RIKEN), Wako-shi Saitama,  
351-0198, Japan  
SOURCE: Journal of Organic Chemistry (1999), 64(14), 5280-5291  
CODEN: JOCEAH; ISSN: 0022-3263  
PUBLISHER: American Chemical Society  
DOCUMENT TYPE: Journal

09980869

LANGUAGE: English

AB A series of imino cyclitols was prepd. using a versatile synthetic strategy, and their inhibition of glycosidases was evaluated using capillary electrophoresis. The study has demonstrated that remarkable specificities in enzyme inhibition can be achieved with small modifications on the aglycon side chain and the ring nitrogen. Among the compds. synthesized, (2R,3R,4R,5R)-N-methyl-2-(acetamidomethyl)-3,4-dihydroxy-5-(hydroxymethyl)pyrrolidine was found to be very potent against .beta.-N-acetylhexosaminidase P with the Ki value of 80 nM.

IT 150571-23-6P 172936-45-7P 231618-75-0P

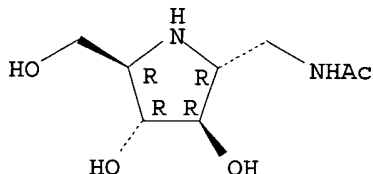
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(prepn. of imino cyclitols as glycosidase inhibitors)

RN 150571-23-6 CAPLUS

CN Acetamide, N-[[[(2R,3R,4R,5R)-3,4-dihydroxy-5-(hydroxymethyl)-2-pyrrolidinyl]methyl]- (9CI) (CA INDEX NAME)

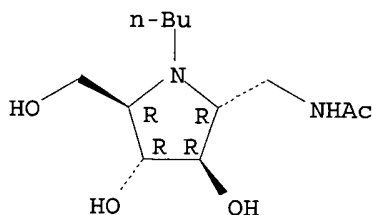
Absolute stereochemistry. Rotation (+).



RN 172936-45-7 CAPLUS

CN Acetamide, N-[[[(2R,3R,4R,5R)-1-butyl-3,4-dihydroxy-5-(hydroxymethyl)-2-pyrrolidinyl]methyl]- (9CI) (CA INDEX NAME)

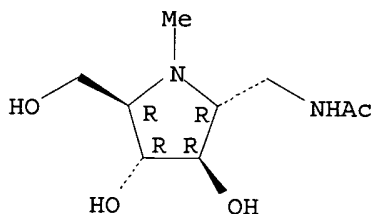
Absolute stereochemistry.



RN 231618-75-0 CAPLUS

CN Acetamide, N-[[[(2R,3R,4R,5R)-3,4-dihydroxy-5-(hydroxymethyl)-1-methyl-2-pyrrolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



REFERENCE COUNT:

56

THERE ARE 56 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 8 OF 13 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1997:530913 CAPLUS

DOCUMENT NUMBER: 127:190944

TITLE: Synthesis of 1-amino-1,2,5-trideoxy-2,5-imino-D-mannitol, a novel analog of the powerful glucosidase inhibitor 2,5-dideoxy-2,5-imino-D-mannitol, via an Amadori rearrangement of 5-azido-5-deoxy-D-glucofuranose

AUTHOR(S): Wrodnigg, Tanja M.; Stutz, Arnold E.; Withers, Steven G.

CORPORATE SOURCE: Institut fur Organische Chemie der Technischen Universitat Graz, Graz, A-8010, Austria

SOURCE: Tetrahedron Letters (1997), 38(31), 5463-5466  
CODEN: TELEAY; ISSN: 0040-4039

PUBLISHER: Elsevier

DOCUMENT TYPE: Journal

LANGUAGE: English

AB By an Amadori rearrangement of easily available 5-azido-5-deoxy-D-glucofuranose with dibenzylamine and subsequent catalytic hydrogenation of the resulting 5-azido-1-dibenzylamino-1,5-dideoxy-D-fructopyranose, the new 1-amino-1,2,5-trideoxy-2,5-imino-D-mannitol was obtained in only two steps and excellent overall yield. Likewise, other amines and/or other 5-modified hexofuranoses can be used to advantage. The reported rearrangement reaction is a high yielding, convenient and apparently general entry to 1-aminodeoxyketopyranoses modified at C-5, facilitated by the ring enlargement of the aldofuranose to the ketopyranose as an addnl. driving force.

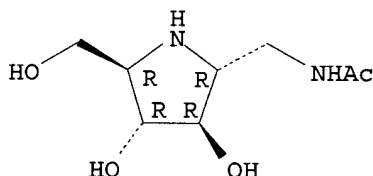
IT 150571-23-6P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of aminotrideoxyiminomannitol via an Amadori rearrangement of azidodeoxyglucofuranose)

RN 150571-23-6 CAPLUS

CN Acetamide, N-[[[(2R,3R,4R,5R)-3,4-dihydroxy-5-(hydroxymethyl)-2-pyrrolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



L4 ANSWER 9 OF 13 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1997:111881 CAPLUS

DOCUMENT NUMBER: 126:212336

TITLE: Intramolecular cyclization of C2 symmetric and meso-iodo amino alcohols: a synthetic approach to azasugars

AUTHOR(S): Kang, Sung Ho; Ryu, Do Hyun

CORPORATE SOURCE: Dep. Chem., Korea Advanced Inst. Sci. Technology, Taejon, 305-701, S. Korea

SOURCE: Tetrahedron Letters (1997), 38(4), 607-610  
CODEN: TELEAY; ISSN: 0040-4039

PUBLISHER: Elsevier

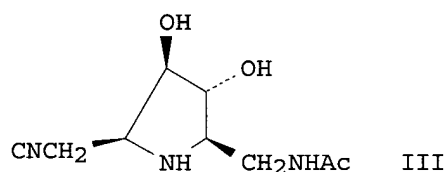
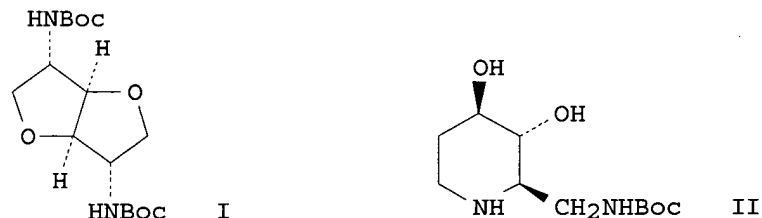
DOCUMENT TYPE: Journal



09980869

LANGUAGE:  
GI

English



AB C2 sym. and meso-iodo hydroxy ammonium chlorides generated from a bis(dihydro-1,3-oxazine) and a bisoxazoline have been cyclized under basic conditions to produce various heterocycles chemoselectively, which comprise tetrahydrofurans, e.g. I, piperidines, e.g. II, and pyrrolidines, e.g. III.

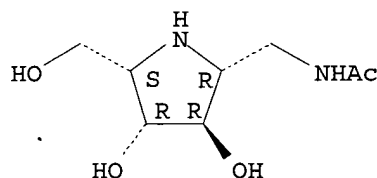
IT 188019-34-3P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of azasugars via intramol. cyclization of C2 sym. and meso-iodo amino alcs.)

RN 188019-34-3 CAPLUS

CN Acetamide, N-[[[(2R,3R,4R,5S)-3,4-dihydroxy-5-(hydroxymethyl)-2-pyrrolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



L4 ANSWER 10 OF 13 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1997:108740 CAPLUS

DOCUMENT NUMBER: 126:260693

TITLE: C2-symmetrical tetrahydroxyazepanes as inhibitors of glycosidases and HIV/FIV proteases

AUTHOR(S): Qian, Xinhua; Moris-Varas, Francisco; Fitzgerald, Michael C.; Wong, Chi-Huey

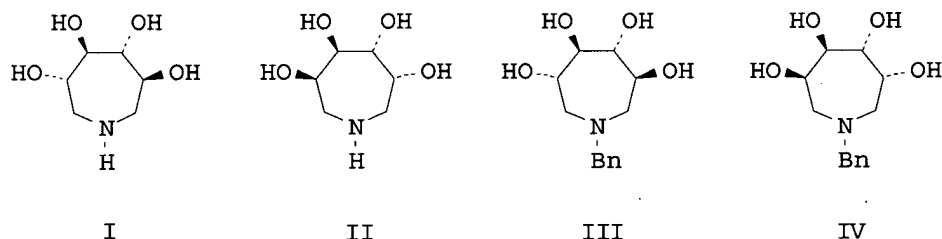
CORPORATE SOURCE: Department of Chemistry, The Scripps Research Institute, La Jolla, CA, 92037, USA

SOURCE: Bioorganic & Medicinal Chemistry (1996), 4(12), 2055-2069

09980869

CODEN: BMECEP; ISSN: 0968-0896

PUBLISHER: Elsevier  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
OTHER SOURCE(S): CASREACT 126:260693  
GI



AB C2-Sym. tetrahydroxyazepanes were synthesized as inhibitors for glycosidases. Tetrahydroxyazepane I is a non-specific inhibitor of various glycosidases, while compds. II, III and IV specifically inhibit .beta.-N-acetylglucosaminidase, .beta.-glucosidase, and .alpha.-fucosidase, resp., with  $K_i$  in the micromolar range. Compd. I is not an inhibitor of HIV/FIV proteases, but its 3,6-difluorobenzyl derivs. are moderate inhibitors of both enzymes.

IT 150571-23-6

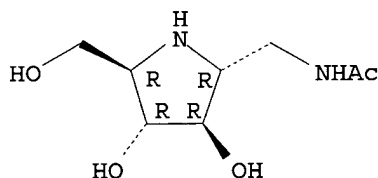
RL: PRP (Properties)

(structural comparison with tetrahydroxyazepanes by mol. modeling;  
prepn. of C2-sym. tetrahydroxyazepanes as inhibitors of glycosidases  
and HIV/FIV proteinases)

RN 150571-23-6 CAPLUS

CN Acetamide, N-[[[(2R,3R,4R,5R)-3,4-dihydroxy-5-(hydroxymethyl)-2-pyrrolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



L4 ANSWER 11 OF 13 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1995:994843 CAPLUS

DOCUMENT NUMBER: 124:117885

TITLE: Preparation of 2-chloro and 2-bromo derivatives of 1,5-iminosugars as glycosidase inhibitors and intermediates.

INVENTOR(S): Barta, Thomas E.; Mueller, Richard A.

PATENT ASSIGNEE(S): Searle, G. D., and Co., USA

SOURCE: PCT Int. Appl., 92 pp.

CODEN: PIXXD2

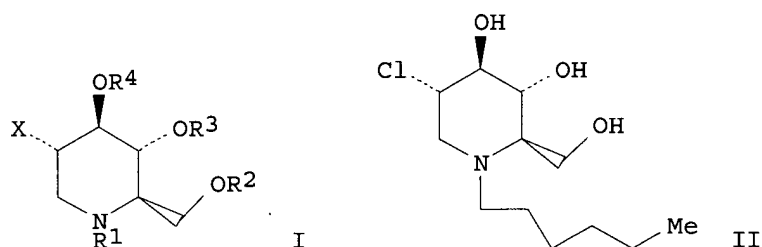
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9524392	A1	19950914	WO 1995-US2168	19950228
W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, MX, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TT, UA				
RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
US 5451679	A	19950919	US 1994-207340	19940308
AU 9519258	A1	19950925	AU 1995-19258	19950228
US 5595981	A	19970121	US 1995-399022	19950306
US 5612480	A	19970318	US 1995-398839	19950306
US 5663342	A	19970902	US 1996-663507	19960614
PRIORITY APPLN. INFO.:			US 1994-207340	19940308
			WO 1995-US2168	19950228
			US 1995-399022	19950306
OTHER SOURCE(S):			MARPAT 124:117885	
GI				



AB Title compds. [I; X = Cl, Br; R1 = H, C1-12 (branched) alkyl, alkoxyalkyl, alkenyl, alkynyl, (substituted) aralkyl, aralkenyl; R2-R4 = H, COR5; R5 = C1-6 (branched) alkyl, C6-12 aryl, alkylaryl], were prepd. Thus, title compd. (II), prepd. from N-hexyldeoxynojirimycin, inhibited HIV with EC50 = 11 .mu.g/mL.

IT 172936-45-7P

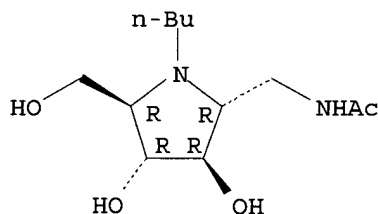
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(prepn. of 2-chloro and 2-bromo derivs. of 1,5-iminosugars as glycosidase inhibitors and intermediates)

RN 172936-45-7 CAPLUS

CN Acetamide, N-[[[(2R,3R,4R,5R)-1-butyl-3,4-dihydroxy-5-(hydroxymethyl)-2-pyrrolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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L4 ANSWER 12 OF 13 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1995:20180 CAPLUS

DOCUMENT NUMBER: 122:133588

TITLE: Preparation of the N-acetylglucosaminidase inhibitor  
1-acetamido-1,2,5-trideoxy-2,5-imino-D-glucitol from  
methyl .alpha.-D-mannopyranoside

AUTHOR(S): Schumacher-Wandersleb, Michael H. M. G.; Petersen,  
Stefan; Peter, Martin G.

CORPORATE SOURCE: Inst. Org. Chem. Biochem., Univ. Bonn, Bonn, D-53121,  
Germany

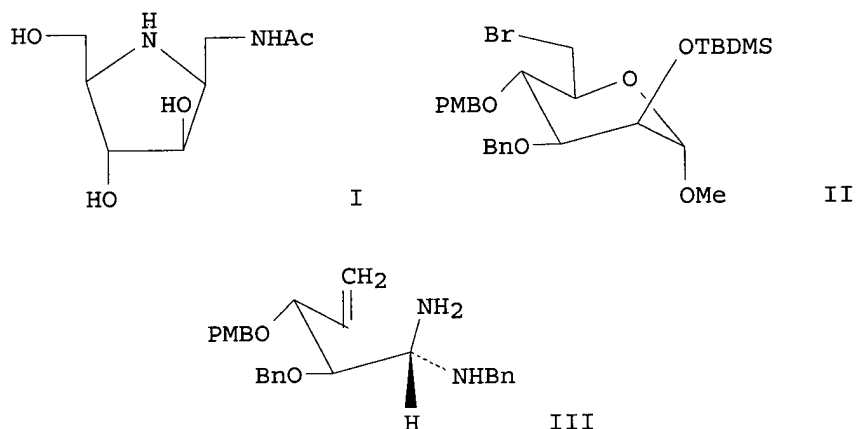
SOURCE: Liebigs Annalen der Chemie (1994), (6), 555-61

CODEN: LACHDL; ISSN: 0170-2041

DOCUMENT TYPE: Journal

LANGUAGE: English

GI



AB Title acetamidotrideoxyiminoglucitol I was prepd. from  
bromodeoxy-.alpha.-D-mannopyranoside II via intramol. aminomercuration of  
aminoalkane III. Compd. I inhibited NAGase from bovine kidney.

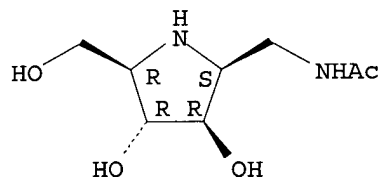
IT 150653-72-8P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. and N-acetylglucosaminidase inhibition by)

RN 150653-72-8 CAPLUS

CN Acetamide, N-[[[(2S,3R,4R,5R)-3,4-dihydroxy-5-(hydroxymethyl)-2-  
pyrrolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



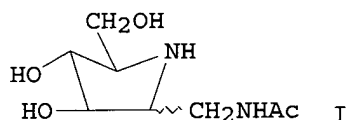
L4 ANSWER 13 OF 13 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1993:603707 CAPLUS

DOCUMENT NUMBER: 119:203707

09980869

TITLE: Inhibition of N-acetylglucosaminyl transfer enzymes:  
chemical-enzymic synthesis of new five-membered  
acetamido azasugars  
AUTHOR(S): Takaoka, Yoshikazu; Kajimoto, Tetsuya; Wong, Chi Huey  
CORPORATE SOURCE: Lab. Glycotechnol., Inst. Phys. Chem. Res., Wako,  
351-01, Japan  
SOURCE: Journal of Organic Chemistry (1993), 58(18), 4809-12  
CODEN: JOCEAH; ISSN: 0022-3263  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
GI



AB Two new acetamido aza sugars I have been synthesized and tested as  
inhibitors of .beta.-N-acetylglucosaminase.

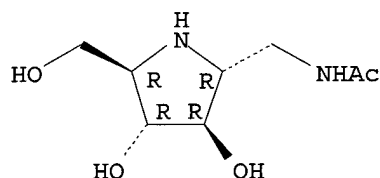
IT 150571-23-6P 150653-72-8P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. and N-acetylglucosaminyl transferase inhibition by)

RN 150571-23-6 CAPLUS

CN Acetamide, N-[[ (2R,3R,4R,5R) -3,4-dihydroxy-5-(hydroxymethyl)-2-  
pyrrolidinyl)methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



RN 150653-72-8 CAPLUS

CN Acetamide, N-[[ (2S,3R,4R,5R) -3,4-dihydroxy-5-(hydroxymethyl)-2-  
pyrrolidinyl)methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

